```
=> fil reg
FILE 'REGISTRY' ENTERED AT 09:16:06 ON 21 OCT 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   20 OCT 2004  HIGHEST RN 766487-31-4
DICTIONARY FILE UPDATES:  20 OCT 2004  HIGHEST RN 766487-31-4

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> d l33 ide can tot

L33  ANSWER 1 OF 10  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   477965-70-1  REGISTRY
CN   Benz[cd]indazol-4-ol, 2-(2-aminopropyl)-2,6,7,8-tetrahydro-,
     dihydrochloride (9CI)  (CA INDEX NAME)
OTHER NAMES:
CN   2-(2-Aminopropyl)-2,6,7,8-tetrahydrobenzo[cd]indazol-4-ol dihydrochloride
MF   C13 H17 N3 O . 2 Cl H
SR   CA
LC   STN Files:   CA, CAPLUS, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); USES
       (Uses)
CRN  (477965-61-0)
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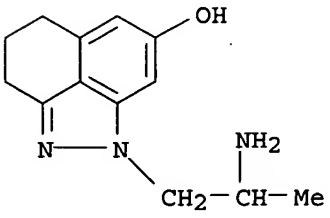

●2 HCl

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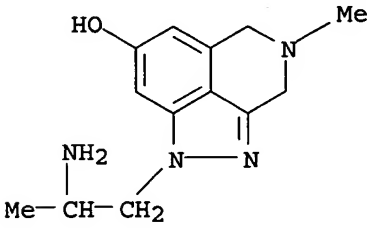
              1 REFERENCES IN FILE CA (1907 TO DATE)
              1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE   1:  138:24711

L33  ANSWER 2 OF 10  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   477965-69-8  REGISTRY
CN   Pyrazolo[3,4,5-de]isoquinolin-7-ol, 1-(2-aminopropyl)-1,3,4,5-tetrahydro-4-
```

methyl- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 1-(2-Aminopropyl)-4-methyl-1,3,4,5-tetrahydropyrazolo[4,3,2-de]isoquinolin-7-ol
FS 3D CONCORD
MF C13 H18 N4 O
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

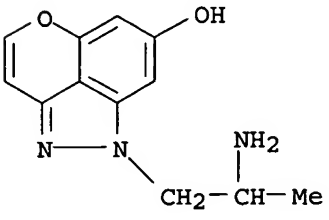


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:24711

L33 ANSWER 3 OF 10 REGISTRY COPYRIGHT 2004 ACS on STN
RN **477965-68-7** REGISTRY
CN 1H-Pyrano[4,3,2-cd]indazol-7-ol, 1-(2-aminopropyl)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 1-(2-Aminopropyl)-5H-pyrano[4,3,2-cd]indazol-7-ol
FS 3D CONCORD
MF C12 H13 N3 O2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

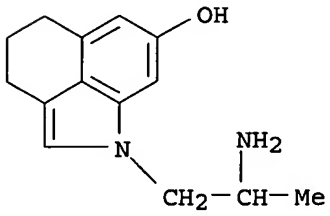


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:24711

L33 ANSWER 4 OF 10 REGISTRY COPYRIGHT 2004 ACS on STN
RN **477965-67-6** REGISTRY
CN Benz[cd]indol-7-ol, 1-(2-aminopropyl)-1,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 1-(2-Aminopropyl)-1,3,4,5-tetrahydrobenzo[cd]indol-7-ol
FS 3D CONCORD
MF C14 H18 N2 O
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

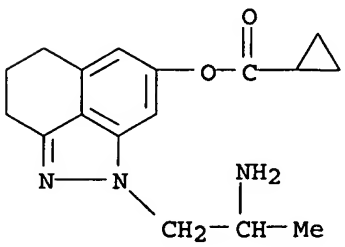
REFERENCE 1: 138:24711

L33 ANSWER 5 OF 10 REGISTRY COPYRIGHT 2004 ACS on STN
RN **477965-66-5** REGISTRY
CN Cyclopropanecarboxylic acid, 2-(2-aminopropyl)-2,6,7,8-tetrahydrobenz[cd]indazol-4-yl ester (9CI) (CA INDEX NAME)
OTHER NAMES:
CN Cyclopropanecarboxylic acid 2-(2-aminopropyl)-2,6,7,8-tetrahydrobenzo[cd]indazol-4-yl ester
FS 3D CONCORD
MF C17 H21 N3 O2
SR CA
LC STN Files: CA, CAPLUS, USPATFU
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Bio
(Uses)

USES

Compound # 69
claim 5

This compound IS NOT covered by claim 1 !!



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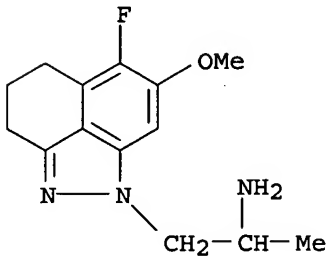
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:24711

L33 ANSWER 6 OF 10 REGISTRY COPYRIGHT 2004 ACS on STN
RN **477965-65-4** REGISTRY
CN Benz[cd]indazole-1(3H)-ethanamine, 6-fluoro-4,5-dihydro-7-methoxy-α-methyl- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2-(6-Fluoro-7-methoxy-4,5-dihydro-3H-benzo[cd]indazol-1-yl)-1-methylethylamine
FS 3D CONCORD
MF C14 H18 F N3 O
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

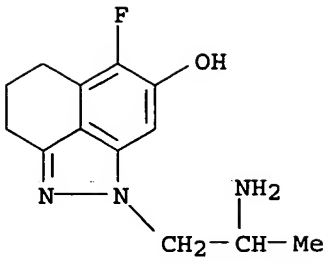


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:24711

L33 ANSWER 7 OF 10 REGISTRY COPYRIGHT 2004 ACS on STN
RN **477965-64-3** REGISTRY
CN Benz[cd]indazol-4-ol, 2-(2-aminopropyl)-5-fluoro-2,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2-(2-Aminopropyl)-5-fluoro-2,6,7,8-tetrahydrobenzo[cd]indazol-4-ol
FS 3D CONCORD
MF C13 H16 F N3 O
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

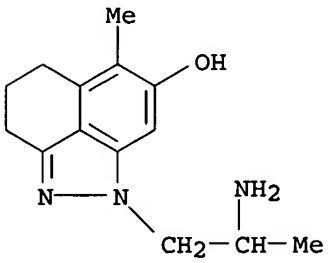


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:24711

L33 ANSWER 8 OF 10 REGISTRY COPYRIGHT 2004 ACS on STN
RN **477965-63-2** REGISTRY
CN Benz[cd]indazol-4-ol, 2-(2-aminopropyl)-2,6,7,8-tetrahydro-5-methyl- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2-(2-Aminopropyl)-5-methyl-2,6,7,8-tetrahydrobenzo[cd]indazol-4-ol
FS 3D CONCORD
MF C14 H19 N3 O
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



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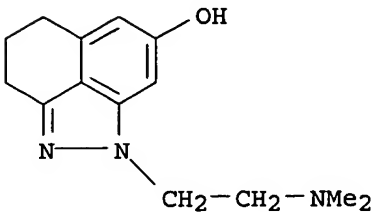
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:24711

L33 ANSWER 9 OF 10 REGISTRY COPYRIGHT 2004 ACS on STN
RN **477965-62-1** REGISTRY
CN Benz[cd]indazol-4-ol, 2-[2-(dimethylamino)ethyl]-2,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2-(2-Dimethylaminoethyl)-2,6,7,8-tetrahydrobenzo[cd]indazol-4-ol
FS 3D CONCORD
MF C14 H19 N3 O
SR CA

LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



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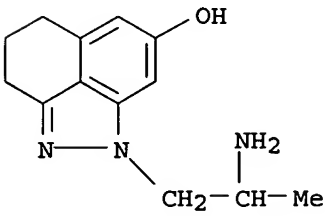
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:24711

L33 ANSWER 10 OF 10 REGISTRY COPYRIGHT 2004 ACS on STN
RN **477965-61-0** REGISTRY
CN Benz[cd]indazol-4-ol, 2-(2-aminopropyl)-2,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2-(2-Aminopropyl)-2,6,7,8-tetrahydrobenzo[cd]indazol-4-ol
FS 3D CONCORD
MF C13 H17 N3 O
CI COM
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:24711

=> d his

(FILE 'HOME' ENTERED AT 08:41:40 ON 21 OCT 2004)
SET COST OFF

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L1             1 S US20040106597/PN OR (US2003-721204# OR WO2002-US17114 OR US20
                 E MAY J/AU
L2           178 S E3-E6
                 E MAY JES/AU
L3            53 S E4-E8
                 E DANTANARAYANA/AU
L4            26 S E4-E7
                 E ANURA/AU
                 E ALCON/PA,CS
                 E ALCOM/PA,CS
L5           868 S E3-E101
                 SEL RN L1

     FILE 'REGISTRY' ENTERED AT 08:46:51 ON 21 OCT 2004
L6            41 S E1-E41
L7             9 S 477965-61-0 OR 477965-62-1 OR 477965-63-2 OR 477965-64-3 OR 4
                 SEL RN
L8             1 S E42-E50/CRN
L9            10 S L7,L8
L10              STR
L11              STR L10
L12            0 S L11
L13              STR L11
L14            0 S L13
L15              SCR 2039 OR 2079 OR 2050 OR 2049 OR 2048 OR 2053 OR 2052 OR 205
L16            1 S L13 NOT L15 SAM
L17              SCR 2077
L18            1 S L13 NOT (L15 OR L17) SAM
L19              SCR 2127
L20            0 S L13 NOT (L15 OR L19) SAM
L21              STR L13
L22            0 S L21 NOT L15 SAM
L23            0 S L21 NOT (L15 OR L19) SAM
L24              STR L13
L25            0 S L24
                 BATCH L24 SHIAO721/B SSS FULL
L26           36 S L6 AND NR>=3
L27            5 S L6 NOT L26
L28           27 S L26  NOT L7
L29           13 S L28 AND PYRAN?
L30           14 S L28 NOT L29
L31            4 S L30 AND C13H17N3O
                 SEL RN 4
L32            1 S E51
L33           10 S L9,L32

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L34            0 S L33

     FILE 'USPATFULL, USPAT2' ENTERED AT 09:15:26 ON 21 OCT 2004
L35            1 S L33

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L36            1 S L33
L37            1 S L36 AND L1-L5
L38         1072 S L1-L5 NOT L37
                 SAV TEMP L38 SHIAO721A/A

     FILE 'REGISTRY' ENTERED AT 09:16:06 ON 21 OCT 2004

=> fil uspatall
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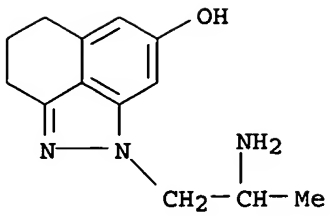
FILE 'USPATFULL' ENTERED AT 09:16:16 ON 21 OCT 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 09:16:16 ON 21 OCT 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

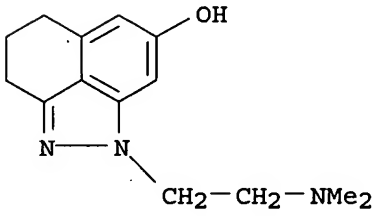
=> d l35 bib abs hitstr

L35 ANSWER 1 OF 1 USPATFULL on STN
AN 2004:139421 USPATFULL
TI Novel fused indazoles and indoles and their use for the treatment of glaucoma
IN May, Jesse A., Fort Worth, TX, UNITED STATES
Dantanarayana, Anura P., Fort Worth, TX, UNITED STATES
PI US 2004106597 A1 20040603
AI US 2003-721204 A1 20031125 (10)
RLI Continuation of Ser. No. WO 2002-US17114, filed on 30 May 2002, PENDING
PRAI US 2001-295428P 20010601 (60)
DT Utility
FS APPLICATION
LREP KILYK & BOWERSOX, P.L.L.C., 53 A EAST LEE STREET, WARRENTON, VA, 20186
CLMN Number of Claims: 19
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 924
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel fused indazoles and indoles are disclosed. Also disclosed are methods for the lowering and controlling of normal or elevated intraocular pressure as well as a method for the treatment of glaucoma using compositions containing one or more of the compounds of the present invention.

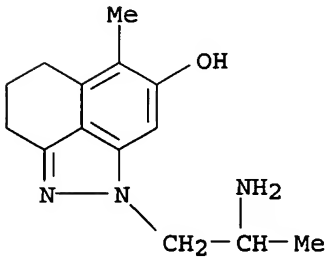
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **477965-61-0P**, 2-(2-Aminopropyl)-2,6,7,8-tetrahydrobenzo[cd]indazol-4-ol **477965-62-1P**, 2-(2-Dimethylaminoethyl)-2,6,7,8-tetrahydrobenzo[cd]indazol-4-ol **477965-63-2P**, 2-(2-Aminopropyl)-5-methyl-2,6,7,8-tetrahydrobenzo[cd]indazol-4-ol **477965-64-3P**, 2-(2-Aminopropyl)-5-fluoro-2,6,7,8-tetrahydrobenzo[cd]indazol-4-ol **477965-65-4P**, 2-(6-Fluoro-7-methoxy-4,5-dihydro-3H-benzo[cd]indazol-1-yl)-1-methylethylamine **477965-66-5P**, Cyclopropanecarboxylic acid 2-(2-aminopropyl)-2,6,7,8-tetrahydrobenzo[cd]indazol-4-yl ester **477965-67-6P**, 1-(2-Aminopropyl)-1,3,4,5-tetrahydrobenzo[cd]indol-7-ol **477965-68-7P**, 1-(2-Aminopropyl)-5H-pyrano[4,3,2-cd]indazol-7-ol **477965-69-8P**, 1-(2-Aminopropyl)-4-methyl-1,3,4,5-tetrahydropyrazolo[4,3,2-de]isoquinolin-7-ol **477965-70-1P**, 2-(2-Aminopropyl)-2,6,7,8-tetrahydrobenzo[cd]indazol-4-ol dihydrochloride (drug candidate; preparation of novel fused indazoles and indoles with 5-HT2 receptor activity for use in the treatment of glaucoma)
RN 477965-61-0 USPATFULL
CN Benz[cd]indazol-4-ol, 2-(2-aminopropyl)-2,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



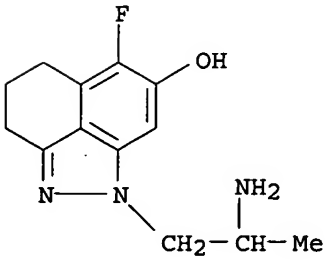
RN 477965-62-1 USPATFULL
CN Benz[cd]indazol-4-ol, 2-[2-(dimethylamino)ethyl]-2,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



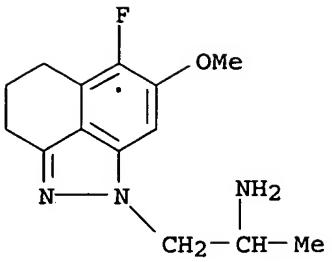
RN 477965-63-2 USPATFULL
CN Benz[cd]indazol-4-ol, 2-(2-aminopropyl)-2,6,7,8-tetrahydro-5-methyl- (9CI) (CA INDEX NAME)



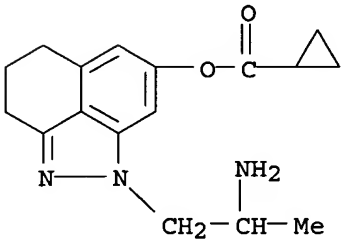
RN 477965-64-3 USPATFULL
CN Benz[cd]indazol-4-ol, 2-(2-aminopropyl)-5-fluoro-2,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



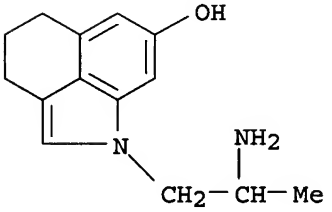
RN 477965-65-4 USPATFULL
CN Benz[cd]indazole-1(3H)-ethanamine, 6-fluoro-4,5-dihydro-7-methoxy-α-methyl- (9CI) (CA INDEX NAME)



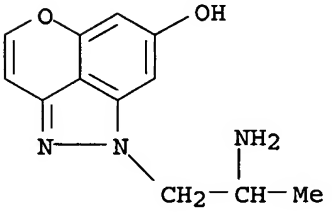
RN 477965-66-5 USPATFULL
CN Cyclopropanecarboxylic acid, 2-(2-aminopropyl)-2,6,7,8-tetrahydrobenz[cd]indazol-4-yl ester (9CI) (CA INDEX NAME)



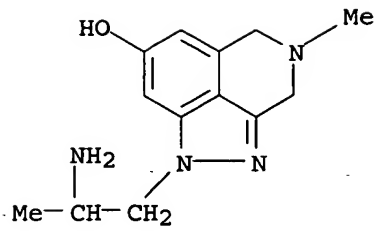
RN 477965-67-6 USPATFULL
CN Benz[cd]indol-7-ol, 1-(2-aminopropyl)-1,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)



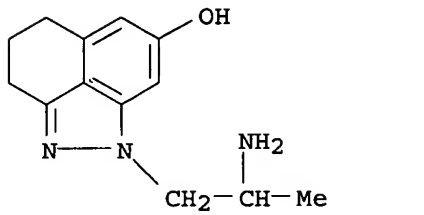
RN 477965-68-7 USPATFULL
CN 1H-Pyrano[4,3,2-cd]indazol-7-ol, 1-(2-aminopropyl)- (9CI) (CA INDEX NAME)



RN 477965-69-8 USPATFULL
CN Pyrazolo[3,4,5-de]isoquinolin-7-ol, 1-(2-aminopropyl)-1,3,4,5-tetrahydro-4-methyl- (9CI) (CA INDEX NAME)



RN 477965-70-1 USPATFULL
CN Benz[cd]indazol-4-ol, 2-(2-aminopropyl)-2,6,7,8-tetrahydro-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

=> fil hcaplus
FILE 'HCAPLUS' ENTERED AT 09:16:24 ON 21 OCT 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 21 Oct 2004 VOL 141 ISS 17
FILE LAST UPDATED: 20 Oct 2004 (20041020/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d all hitstr l37

L37 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:946270 HCAPLUS
DN 138:24711
ED Entered STN: 13 Dec 2002
TI Novel fused indazoles and indoles with 5-HT2 receptor activity, and their

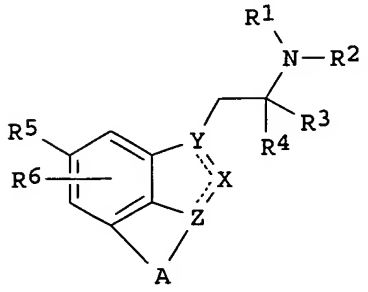
use for lowering of intraocular pressure in the treatment of glaucoma
IN **May, Jesse A.; Dantanarayana, Anura P.**
PA **Alcon, Inc., Switz.**
SO PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D231-54
ICS A61K031-416
CC 28-8 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 27
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002098860	A1	20021212	WO 2002-US17114	20020530 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1392658	A1	20040303	EP 2002-734608	20020530 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2004106597	A1	20040603	US 2003-721204	20031125 <--
PRAI	US 2001-295428P	P	20010601 <--		
	WO 2002-US17114	W	20020530 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2002098860	ICM	C07D231-54
	ICS	A61K031-416

OS MARPAT 138:24711
GI



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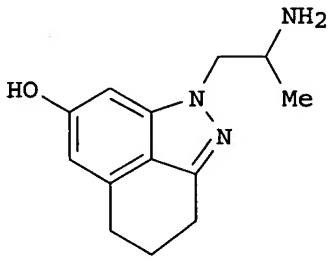

II

AB Novel fused indazoles and indoles are disclosed. Also disclosed are methods for the lowering and controlling of normal or elevated intraocular pressure, as well as a method for the treatment of glaucoma, using compns. containing one or more of the invention compds. In particular, compds. I are claimed [wherein R1 and R2 are independently chosen from H or alkyl; R3 and R4 are independently chosen from H or alkyl, or R3, R4, and the C atom to which they are attached form cycloalkyl; or R2 and R3 together are (CH2)m to form a saturated heterocycle; R5 is chosen from OH, alkoxy, alkyl, halogen, or OC(O)W; R6 is chosen from H, halogen, or (un)substituted alkyl; R7 and R8 are H or alkyl; W is (un)substituted alkyl, NR7R8,

NR7CH2(CH2)nNR7R8, O-alkyl, or (un)substituted alkenyl; m is 3 or 4; n is 2 or 3; A is a 5- to 7-membered ring optionally containing one heteroatom chosen from NR7, O, or S; X is either N or C; Y and Z are either N or C, wherein Y and Z are different; and the dashed bonds denote a suitably appointed single and double bond; or pharmaceutically acceptable salts or solvates thereof]. Nine specific compds. I are claimed per se, and these compds. plus 13 addnl. unprepd. compds. are claimed in corresponding methods of lowering intraocular pressure or treating glaucoma. For instance, title compound II.2HCl was prepared in 8 steps from 1-amino-5,6,7,8-tetrahydronaphthalene (III). The sequence involved: (1) nitration of III in the 2- and 3-positions; (2) diazotization with cyclization to give a benzopyrazole ring; (3) N-alkylation with propylene oxide; (4) hydrogenation of the nitro group to amino; (5) diazotization and hydroxylation of the formed amino group; (6) benzylation of the formed phenolic hydroxy group; (7) mesylation of the alkanolic hydroxy group and conversion to the azide; and (8) hydrogenation of the azide and acidification. II.2HCl bound to rat cortical 5-HT2 receptors in vitro with an IC50 of 0.714 nM, vs. 0.941 for 5-HT itself. This compound also showed agonist activity at rat vascular 5-HT2 receptors in a phosphoinositide turnover assay, and reduced intraocular pressure in conscious cynomolgus monkeys by about 20% for at least 6 h at a dose of 300 μg (topical).

ST indazole indole prepn 5HT2 receptor agonist antagonist treatment glaucoma; serotoninergic agonist antagonist indazole indole prepn intraocular antihypertensive

IT 5-HT agonists
5-HT antagonists
(5-HT2A; preparation of novel fused indazoles and indoles with 5-HT2 receptor activity for use in the treatment of glaucoma)

IT 5-HT receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(binding to; preparation of novel fused indazoles and indoles with 5-HT2 receptor activity for use in the treatment of glaucoma)

IT 5-HT agonists
5-HT antagonists
Antiglaucoma agents
Antihypertensives
(preparation of novel fused indazoles and indoles with 5-HT2 receptor activity for use in the treatment of glaucoma)

IT Hypertension
(treatment of intraocular; preparation of novel fused indazoles and indoles with 5-HT2 receptor activity for use in the treatment of glaucoma)

IT Glaucoma (disease)
(treatment of; preparation of novel fused indazoles and indoles with 5-HT2 receptor activity for use in the treatment of glaucoma)

IT 5-HT receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(type 5-HT2, binding to; preparation of novel fused indazoles and indoles with 5-HT2 receptor activity for use in the treatment of glaucoma)

IT **477965-61-0P**, 2-(2-Aminopropyl)-2,6,7,8-tetrahydrobenzo[cd]indazol-4-ol **477965-62-1P**, 2-(2-Dimethylaminoethyl)-2,6,7,8-tetrahydrobenzo[cd]indazol-4-ol **477965-63-2P**, 2-(2-Aminopropyl)-5-methyl-2,6,7,8-tetrahydrobenzo[cd]indazol-4-ol **477965-64-3P**, 2-(2-Aminopropyl)-5-fluoro-2,6,7,8-tetrahydrobenzo[cd]indazol-4-ol **477965-65-4P**, 2-(6-Fluoro-7-methoxy-4,5-dihydro-3H-benzo[cd]indazol-1-yl)-1-methylethylamine **477965-66-5P**, Cyclopropanecarboxylic acid 2-(2-aminopropyl)-2,6,7,8-tetrahydrobenzo[cd]indazol-4-yl ester **477965-67-6P**, 1-(2-Aminopropyl)-1,3,4,5-tetrahydrobenzo[cd]indol-7-ol **477965-68-7P**, 1-(2-Aminopropyl)-5H-pyrano[4,3,2-cd]indazol-7-ol **477965-69-8P**, 1-(2-Aminopropyl)-4-methyl-1,3,4,5-tetrahydropyrazolo[4,3,2-de]isoquinolin-7-ol **477965-70-1P**, 2-(2-Aminopropyl)-2,6,7,8-tetrahydrobenzo[cd]indazol-4-ol dihydrochloride

477965-71-2P, 2-(2-Aminopropyl)-2,6,7,8-tetrahydrobenzo[cd]indazol-3-ol dihydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of novel fused indazoles and indoles with 5-HT2 receptor activity for use in the treatment of glaucoma)

IT 477965-95-0, 1-(2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol 477965-97-2, 1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol 477965-99-4, (R)-1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol 477966-02-2, (S)-1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol 477966-04-4, 1-((S)-2-Aminopropyl)-3-methyl-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol 477966-06-6, 1-((S)-1-Pyrrolidin-2-ylmethyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol 477966-08-8, 1-((S)-2-Aminopropyl)-5-fluoro-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol 477966-10-2, [1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-yl]dimethylamine 477966-11-3, [1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-yl]methanol 477966-13-5, 1-(2-Aminopropyl)-3,7,8,9-tetrahydropyrano[3,2-e]indazol-8-ol 477966-15-7, 1-(Pyrrolidin-2-ylmethyl)-3,7,8,9-tetrahydropyrano[3,2-e]indazol-8-ol 477966-17-9, 1-((S)-2-Aminopropyl)-3,7,8,9-tetrahydropyrano[3,2-e]indazol-8-ol 477966-19-1, 1-((S)-2-Aminopropyl)-3-methyl-3,7,8,9-tetrahydropyrano[3,2-e]indazol-8-ol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drug candidate; preparation of novel fused indazoles and indoles with 5-HT2 receptor activity for use in the treatment of glaucoma)

IT 50536-99-7P, 3-Nitro-5,6,7,8-tetrahydronaphthalen-1-ylamine 78422-66-9P, 2-Nitro-5,6,7,8-tetrahydronaphthalen-1-ylamine 477965-72-3P, 7-Nitro-1,3,4,5-tetrahydrobenzo[cd]indazole 477965-73-4P, 1-(7-Nitro-4,5-dihydro-3H-benzo[cd]indazol-1-yl)propan-2-ol 477965-75-6P, 1-(7-Amino-4,5-dihydro-3H-benzo[cd]indazol-1-yl)propan-2-ol 477965-77-8P, 2-(2-Hydroxypropyl)-2,6,7,8-tetrahydrobenzo[cd]indazol-4-ol 477965-79-0P, 1-(7-Benzyloxy-4,5-dihydro-3H-benzo[cd]indazol-1-yl)propan-2-ol 477965-81-4P, 1-(2-Azidopropyl)-7-benzyloxy-1,3,4,5-tetrahydrobenzo[cd]indazole 477965-83-6P, 8-Nitro-1,3,4,5-tetrahydrobenzo[cd]indazole 477965-85-8P, 1-(8-Nitro-4,5-dihydro-3H-benzo[cd]indazol-1-yl)propan-2-ol 477965-87-0P, 1-(8-Amino-4,5-dihydro-3H-benzo[cd]indazol-1-yl)propan-2-ol 477965-89-2P, 2-(2-Hydroxypropyl)-2,6,7,8-tetrahydrobenzo[cd]indazol-3-ol 477965-91-6P, 1-(8-Benzyloxy-4,5-dihydro-3H-benzo[cd]indazol-1-yl)propan-2-ol 477965-93-8P, 1-(2-Azidopropyl)-8-benzyloxy-1,3,4,5-tetrahydrobenzo[cd]indazole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of novel fused indazoles and indoles with 5-HT2 receptor activity for use in the treatment of glaucoma)

IT 75-56-9, Propylene oxide, reactions 100-39-0, Benzyl bromide 2217-41-6, 1-Amino-5,6,7,8-tetrahydronaphthalene
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of novel fused indazoles and indoles with 5-HT2 receptor activity for use in the treatment of glaucoma)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Flaugh; US 5385928 A 1995 HCAPLUS

IT **477965-61-0P**, 2-(2-Aminopropyl)-2,6,7,8-tetrahydrobenzo[cd]indazol-4-ol **477965-62-1P**, 2-(2-Dimethylaminoethyl)-2,6,7,8-tetrahydrobenzo[cd]indazol-4-ol **477965-63-2P**, 2-(2-Aminopropyl)-5-methyl-2,6,7,8-tetrahydrobenzo[cd]indazol-4-ol **477965-64-3P**, 2-(2-Aminopropyl)-5-fluoro-2,6,7,8-tetrahydrobenzo[cd]indazol-4-ol **477965-65-4P**, 2-(6-Fluoro-7-methoxy-4,5-dihydro-3H-benzo[cd]indazol-1-yl)-1-methylethylamine **477965-66-5P**, Cyclopropanecarboxylic acid

2-(2-aminopropyl)-2,6,7,8-tetrahydrobenzo[cd]indazol-4-yl ester **477965-67-6P**, 1-(2-Aminopropyl)-1,3,4,5-tetrahydrobenzo[cd]indol-7-ol **477965-68-7P**, 1-(2-Aminopropyl)-5H-pyrano[4,3,2-cd]indazol-7-ol **477965-69-8P**, 1-(2-Aminopropyl)-4-methyl-1,3,4,5-tetrahydropyrazolo[4,3,2-de]isoquinolin-7-ol **477965-70-1P**, 2-(2-Aminopropyl)-2,6,7,8-tetrahydrobenzo[cd]indazol-4-ol dihydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of novel fused indazoles and indoles with 5-HT2 receptor activity for use in the treatment of glaucoma)

RN 477965-61-0 HCAPLUS
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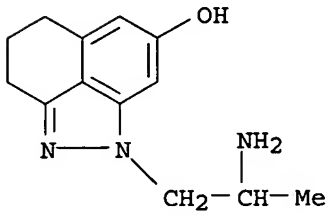
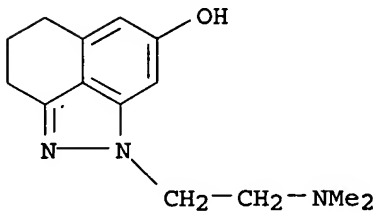
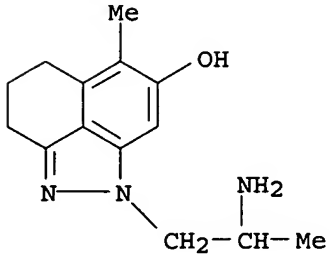


RN 477965-62-1 HCAPLUS
CN Benz[cd]indazol-4-ol, 2-[2-(dimethylamino)ethyl]-2,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



RN 477965-63-2 HCAPLUS
CN Benz[cd]indazol-4-ol, 2-(2-aminopropyl)-2,6,7,8-tetrahydro-5-methyl- (9CI) (CA INDEX NAME)



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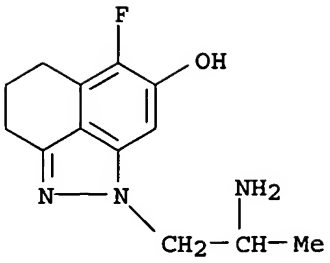

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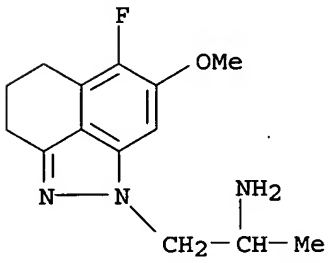
CN Benz[cd]indazole-1(3H)-ethanamine, 6-fluoro-4,5-dihydro-7-methoxy-α-methyl- (9CI) (CA INDEX NAME)



RN 477965-66-5 HCAPLUS
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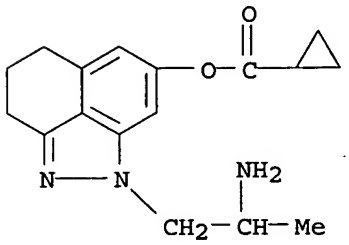

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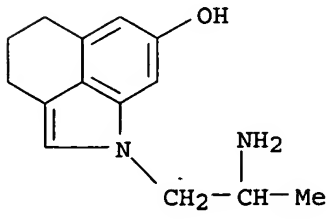

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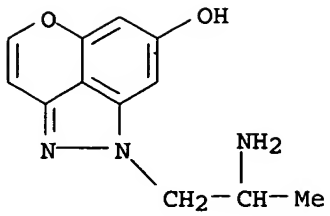

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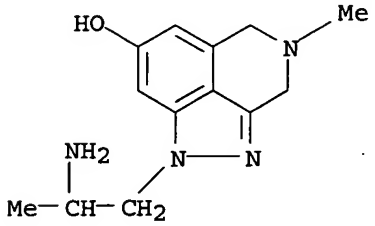
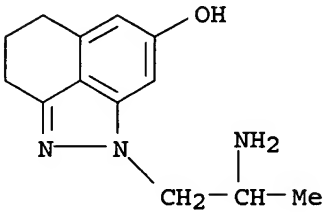


RN 477965-70-1 HCAPLUS
CN Benz[cd]indazol-4-ol, 2-(2-aminopropyl)-2,6,7,8-tetrahydro-, dihydrochloride (9CI) (CA INDEX NAME)



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STRUCTURE FILE UPDATES: 24 OCT 2004 HIGHEST RN 768347-62-2
DICTIONARY FILE UPDATES: 24 OCT 2004 HIGHEST RN 768347-62-2

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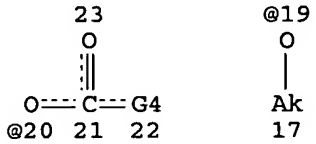

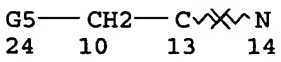

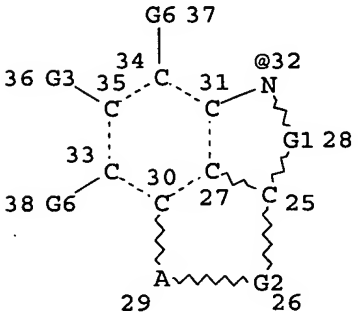

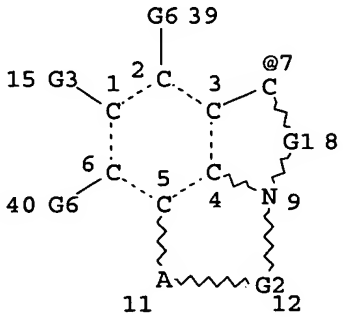

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NUMBER OF NODES IS 38

STEREO ATTRIBUTES: NONE
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FILE LAST UPDATED: 25 Oct 2004  (20041025/ED)

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L9   ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2004 ACS on STN
AN   2002:946270  HCAPLUS
DN   138:24711
ED   Entered STN:  13 Dec 2002
TI   Novel fused indazoles and indoles with 5-HT2 receptor activity, and their
     use for lowering of intraocular pressure in the treatment of glaucoma
IN   May, Jesse A.; Dantanarayana, Anura P.
PA   Alcon, Inc., Switz.
SO   PCT Int. Appl., 35 pp.
```

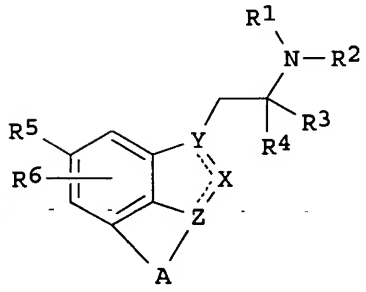
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D231-54
ICS A61K031-416
CC 28-8 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 27
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002098860	A1	20021212	WO 2002-US17114	20020530
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1392658	A1	20040303	EP 2002-734608	20020530
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004106597	A1	20040603	US 2003-721204	20031125
PRAI US 2001-295428P	P	20010601		
WO 2002-US17114	W	20020530		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2002098860	ICM	C07D231-54
	ICS	A61K031-416

OS MARPAT 138:24711
GI



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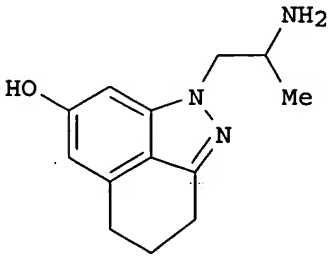


II

AB Novel fused indazoles and indoles are disclosed. Also disclosed are methods for the lowering and controlling of normal or elevated intraocular pressure, as well as a method for the treatment of glaucoma, using compns. containing one or more of the invention compds. In particular, compds. I are claimed [wherein R1 and R2 are independently chosen from H or alkyl; R3 and R4 are independently chosen from H or alkyl, or R3, R4, and the C atom to which they are attached form cycloalkyl; or R2 and R3 together are (CH2)m to form a saturated heterocycle; R5 is chosen from OH, alkoxy, alkyl, halogen, or OC(O)W; R6 is chosen from H, halogen, or (un)substituted alkyl; R7 and R8 are H or alkyl; W is (un)substituted alkyl, NR7R8, NR7CH2(CH2)nNR7R8, O-alkyl, or (un)substituted alkenyl; m is 3 or 4; n is 2 or 3; A is a 5- to 7-membered ring optionally containing one heteroatom

chosen from NR7, O, or S; X is either N or C; Y and Z are either N or C, wherein Y and Z are different; and the dashed bonds denote a suitably appointed single and double bond; or pharmaceutically acceptable salts or solvates thereof]. Nine specific compds. I are claimed per se, and these compds. plus 13 addnl. unprepd. compds. are claimed in corresponding methods of lowering intraocular pressure or treating glaucoma. For instance, title compound II.2HCl was prepared in 8 steps from 1-amino-5,6,7,8-tetrahydronaphthalene (III). The sequence involved: (1) nitration of III in the 2- and 3-positions; (2) diazotization with cyclization to give a benzopyrazole ring; (3) N-alkylation with propylene oxide; (4) hydrogenation of the nitro group to amino; (5) diazotization and hydroxylation of the formed amino group; (6) benzylation of the formed phenolic hydroxy group; (7) mesylation of the alkanolic hydroxy group and conversion to the azide; and (8) hydrogenation of the azide and acidification. II.2HCl bound to rat cortical 5-HT2 receptors in vitro with an IC50 of 0.714 nM, vs. 0.941 for 5-HT itself. This compound also showed agonist activity at rat vascular 5-HT2 receptors in a phosphoinositide turnover assay, and reduced intraocular pressure in conscious cynomolgus monkeys by about 20% for at least 6 h at a dose of 300 μg (topical).

ST indazole indole prepn 5HT2 receptor agonist antagonist treatment glaucoma; serotoninergic agonist antagonist indazole indole prepn intraocular antihypertensive

IT 5-HT agonists
5-HT antagonists
(5-HT2A; preparation of novel fused indazoles and indoles with 5-HT2 receptor activity for use in the treatment of glaucoma)

IT 5-HT receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(binding to; preparation of novel fused indazoles and indoles with 5-HT2 receptor activity for use in the treatment of glaucoma)

IT 5-HT agonists
5-HT antagonists
Antiglaucoma agents
Antihypertensives
(preparation of novel fused indazoles and indoles with 5-HT2 receptor activity for use in the treatment of glaucoma)

IT Hypertension
(treatment of intraocular; preparation of novel fused indazoles and indoles with 5-HT2 receptor activity for use in the treatment of glaucoma)

IT Glaucoma (disease)
(treatment of; preparation of novel fused indazoles and indoles with 5-HT2 receptor activity for use in the treatment of glaucoma)

IT 5-HT receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(type 5-HT2, binding to; preparation of novel fused indazoles and indoles with 5-HT2 receptor activity for use in the treatment of glaucoma)

IT 477965-61-0P, 2-(2-Aminopropyl)-2,6,7,8-tetrahydrobenzo[cd]indazol-4-ol 477965-62-1P, 2-(2-Dimethylaminoethyl)-2,6,7,8-tetrahydrobenzo[cd]indazol-4-ol 477965-63-2P, 2-(2-Aminopropyl)-5-methyl-2,6,7,8-tetrahydrobenzo[cd]indazol-4-ol 477965-64-3P, 2-(2-Aminopropyl)-5-fluoro-2,6,7,8-tetrahydrobenzo[cd]indazol-4-ol 477965-65-4P, 2-(6-Fluoro-7-methoxy-4,5-dihydro-3H-benzo[cd]indazol-1-yl)-1-methylethylamine 477965-66-5P, Cyclopropanecarboxylic acid 2-(2-aminopropyl)-2,6,7,8-tetrahydrobenzo[cd]indazol-4-yl ester 477965-67-6P, 1-(2-Aminopropyl)-1,3,4,5-tetrahydrobenzo[cd]indol-7-ol 477965-68-7P, 1-(2-Aminopropyl)-5H-pyrano[4,3,2-cd]indazol-7-ol 477965-69-8P, 1-(2-Aminopropyl)-4-methyl-1,3,4,5-tetrahydropyrazolo[4,3,2-de]isoquinolin-7-ol 477965-70-1P, 2-(2-Aminopropyl)-2,6,7,8-tetrahydrobenzo[cd]indazol-4-ol dihydrochloride 477965-71-2P, 2-(2-Aminopropyl)-2,6,7,8-tetrahydrobenzo[cd]indazol-3-ol dihydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(drug candidate; preparation of novel fused indazoles and indoles with 5-HT2 receptor activity for use in the treatment of glaucoma)

IT 477965-95-0, 1-(2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol 477965-97-2, 1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol 477965-99-4, (R)-1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol 477966-02-2, (S)-1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol 477966-04-4, 1-((S)-2-Aminopropyl)-3-methyl-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol 477966-06-6, 1-((S)-1-Pyrrolidin-2-ylmethyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol 477966-08-8, 1-((S)-2-Aminopropyl)-5-fluoro-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol 477966-10-2, [1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-yl]dimethylamine 477966-11-3, [1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-yl]methanol 477966-13-5, 1-(2-Aminopropyl)-3,7,8,9-tetrahydropyrano[3,2-e]indazol-8-ol 477966-15-7, 1-(Pyrrolidin-2-ylmethyl)-3,7,8,9-tetrahydropyrano[3,2-e]indazol-8-ol 477966-17-9, 1-((S)-2-Aminopropyl)-3,7,8,9-tetrahydropyrano[3,2-e]indazol-8-ol 477966-19-1, 1-((S)-2-Aminopropyl)-3-methyl-3,7,8,9-tetrahydropyrano[3,2-e]indazol-8-ol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drug candidate; preparation of novel fused indazoles and indoles with 5-HT2 receptor activity for use in the treatment of glaucoma)

IT 50536-99-7P, 3-Nitro-5,6,7,8-tetrahydronaphthalen-1-ylamine 78422-66-9P, 2-Nitro-5,6,7,8-tetrahydronaphthalen-1-ylamine 477965-72-3P, 7-Nitro-1,3,4,5-tetrahydrobenzo[cd]indazole 477965-73-4P, 1-(7-Nitro-4,5-dihydro-3H-benzo[cd]indazol-1-yl)propan-2-ol 477965-75-6P, 1-(7-Amino-4,5-dihydro-3H-benzo[cd]indazol-1-yl)propan-2-ol 477965-77-8P, 2-(2-Hydroxypropyl)-2,6,7,8-tetrahydrobenzo[cd]indazol-4-ol 477965-79-0P, 1-(7-Benzyloxy-4,5-dihydro-3H-benzo[cd]indazol-1-yl)propan-2-ol **477965-81-4P**, 1-(2-Azidopropyl)-7-benzyloxy-1,3,4,5-tetrahydrobenzo[cd]indazole 477965-83-6P, 8-Nitro-1,3,4,5-tetrahydrobenzo[cd]indazole 477965-85-8P, 1-(8-Nitro-4,5-dihydro-3H-benzo[cd]indazol-1-yl)propan-2-ol 477965-87-0P, 1-(8-Amino-4,5-dihydro-3H-benzo[cd]indazol-1-yl)propan-2-ol 477965-89-2P, 2-(2-Hydroxypropyl)-2,6,7,8-tetrahydrobenzo[cd]indazol-3-ol 477965-91-6P, 1-(8-Benzyloxy-4,5-dihydro-3H-benzo[cd]indazol-1-yl)propan-2-ol 477965-93-8P, 1-(2-Azidopropyl)-8-benzyloxy-1,3,4,5-tetrahydrobenzo[cd]indazole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of novel fused indazoles and indoles with 5-HT2 receptor activity for use in the treatment of glaucoma)

IT 75-56-9, Propylene oxide, reactions 100-39-0, Benzyl bromide 2217-41-6, 1-Amino-5,6,7,8-tetrahydronaphthalene
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of novel fused indazoles and indoles with 5-HT2 receptor activity for use in the treatment of glaucoma)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD

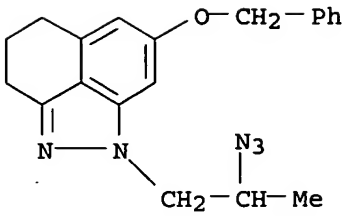
RE

(1) Flaugh; US 5385928 A 1995 HCAPLUS

IT **477965-81-4P**, 1-(2-Azidopropyl)-7-benzyloxy-1,3,4,5-tetrahydrobenzo[cd]indazole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of novel fused indazoles and indoles with 5-HT2 receptor activity for use in the treatment of glaucoma)

RN 477965-81-4 HCAPLUS

CN Benz[cd]indazole, 1-(2-azidopropyl)-1,3,4,5-tetrahydro-7-(phenylmethoxy)-(9CI) (CA INDEX NAME)



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L13 ANSWER 1 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:203665 HCAPLUS
DN 140:229446
ED Entered STN: 14 Mar 2004
TI Method using heterocyclic carboxamide compounds for preventing or treating atherosclerosis or restenosis
IN Wathen, Michael W.; Wathen, Lynne K.
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K031-435
ICS A61K031-4745; A61P009-10
CC 1-8 (Pharmacology)
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004019939	A1	20040311	WO 2003-US26973	20030828
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004102473	A1	20040527	US 2003-651216	20030828
PRAI US 2002-407090P	P	20020830		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004019939	ICM	A61K031-435
	ICS	A61K031-4745; A61P009-10

OS MARPAT 140:229446
AB The invention provides a method of treating atherosclerosis or restenosis in a mammal which comprises administering an effective amount of a thieno[2,3-b]pyridine carboxamide derivative or a pyrrolo[3,2,1-ij]quinoline carboxamide derivative
ST thienopyridine carboxamide deriv atherosclerosis restenosis treatment; pyrroloquinoline carboxamide deriv atherosclerosis restenosis treatment
IT Antiarteriosclerotics
(antiatherosclerotics; heterocyclic carboxamide compds. for preventing or treating atherosclerosis or restenosis)
IT Atherosclerosis

Cardiovascular agents
Human
(heterocyclic carboxamide compds. for preventing or treating atherosclerosis or restenosis)

IT Drug delivery systems
(oral; heterocyclic carboxamide compds. for preventing or treating atherosclerosis or restenosis)

IT Drug delivery systems
(parenterals; heterocyclic carboxamide compds. for preventing or treating atherosclerosis or restenosis)

IT Drug delivery systems
(prodrugs; heterocyclic carboxamide compds. for preventing or treating atherosclerosis or restenosis)

IT Artery, disease
(restenosis; heterocyclic carboxamide compds. for preventing or treating atherosclerosis or restenosis)

IT Drug delivery systems
(topical; heterocyclic carboxamide compds. for preventing or treating atherosclerosis or restenosis)

IT Drugs
(veterinary; heterocyclic carboxamide compds. for preventing or treating atherosclerosis or restenosis)

IT 292143-49-8 292143-52-3 292143-55-6 292143-58-9 292143-61-4
292143-64-7 292143-65-8 292143-66-9 292143-67-0 292143-68-1
292143-70-5 292143-71-6 292143-72-7 292143-74-9 292143-76-1
292143-78-3 292143-79-4 292143-83-0 292143-85-2 292143-86-3
292143-90-9 292143-92-1 292143-94-3 292143-96-5 292143-98-7
292144-00-4 292144-02-6 292144-04-8 292144-06-0 292144-07-1
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292144-14-0 292144-15-1 292144-16-2 292144-17-3 292144-18-4
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388122-08-5 388122-09-6 388122-10-9 388122-11-0 **388122-12-1**
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388122-16-5 388122-17-6 388122-18-7 388122-20-1
388122-21-2 388122-22-3 388122-23-4 388122-24-5 388122-25-6
388122-26-7 388122-27-8 388122-28-9 388122-29-0 388122-30-3
388122-31-4 388122-32-5 388122-33-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heterocyclic carboxamide compds. for preventing or treating atherosclerosis or restenosis)

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

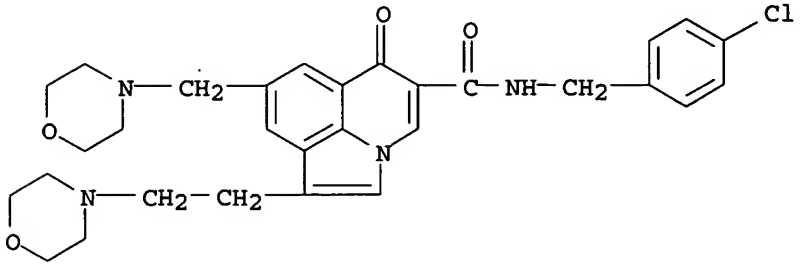
(1) Ke, C; US 2002055636 A1 2002 HCAPLUS
(2) Lemstrom, K; CIRCULATION 1994, V90(4), P1969 HCAPLUS
(3) O'Connor, S; EMERGING INFECTIOUS DISEASES 2001, V7(5), P780 MEDLINE
(4) Romines, K; WO 03059912 A 2003 HCAPLUS
(5) Scott, A; US 6239142 B1 2001 HCAPLUS
(6) Shnute, M; WO 03059911 A 2003 HCAPLUS
(7) Up John Co; WO 03020729 A 2003 HCAPLUS
(8) Zhou; NEW ENGLAND JOURNAL OF MEDICINE 1996, 335, P624

IT **388122-12-1 388122-13-2 388122-14-3**
388122-15-4 388122-16-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(heterocyclic carboxamide compds. for preventing or treating atherosclerosis or restenosis)

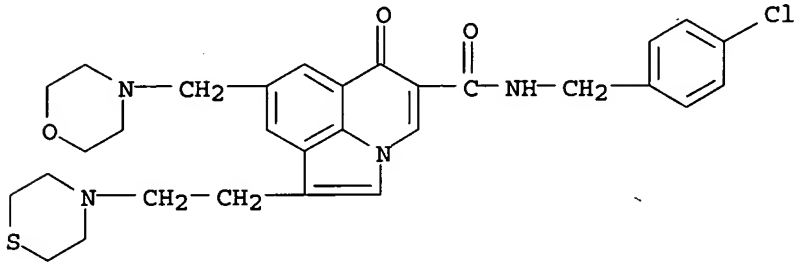
RN 388122-12-1 HCAPLUS

CN 6H-Pyrrolo[3,2,1-ij]quinoline-5-carboxamide, N-[(4-chlorophenyl)methyl]-1-[2-(4-morpholinyl)ethyl]-8-(4-morpholinylmethyl)-6-oxo- (9CI) (CA INDEX NAME)



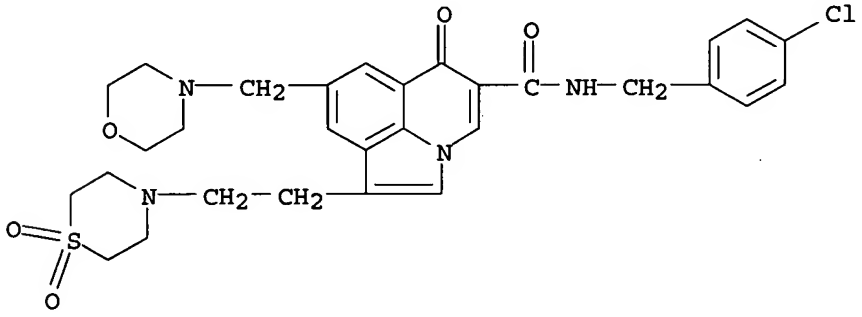
RN 388122-13-2 HCAPLUS

CN 6H-Pyrrolo[3,2,1-ij]quinoline-5-carboxamide, N-[(4-chlorophenyl)methyl]-8-(4-morpholinylmethyl)-6-oxo-1-[2-(4-thiomorpholinyl)ethyl]- (9CI) (CA INDEX NAME)



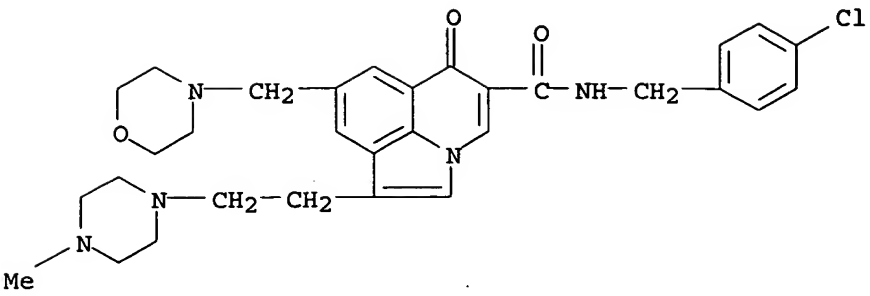
RN 388122-14-3 HCAPLUS

CN 6H-Pyrrolo[3,2,1-ij]quinoline-5-carboxamide, N-[(4-chlorophenyl)methyl]-1-[2-(1,1-dioxido-4-thiomorpholinyl)ethyl]-8-(4-morpholinylmethyl)-6-oxo- (9CI) (CA INDEX NAME)

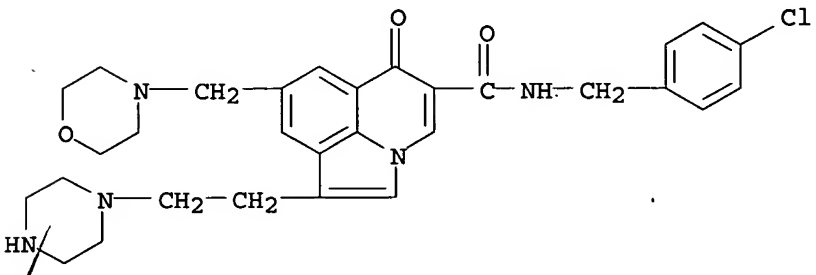


RN 388122-15-4 HCAPLUS

CN 6H-Pyrrolo[3,2,1-ij]quinoline-5-carboxamide, N-[(4-chlorophenyl)methyl]-1-[2-(4-methyl-1-piperazinyl)ethyl]-8-(4-morpholinylmethyl)-6-oxo- (9CI) (CA INDEX NAME)



RN 388122-16-5 HCAPLUS
CN 6H-Pyrrolo[3,2,1-ij]quinoline-5-carboxamide, N-[(4-chlorophenyl)methyl]-8-(4-morpholinylmethyl)-6-oxo-1-[2-(1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)



L13 ANSWER 2 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:845271 HCAPLUS
DN 137:346175
ED Entered STN: 07 Nov 2002
TI Use of lipoxygenase inhibitors for the treatment of acne
IN Zouboulis, Christos C.
PA Germany
SO Ger. Offen., 6 pp.
CODEN: GWXXBX
DT Patent
LA German
IC ICM A61K031-381
CC 1-7 (Pharmacology)
Section cross-reference(s): 63
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 10121252	A1	20021107	DE 2001-10121252	20010430 <--
WO 2002089791	A2	20021114	WO 2002-EP4715	20020429 <--
WO 2002089791	A3	20031211		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1385505	A2	20040204	EP 2002-730223	20020429 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2004528360 T2 20040916 JP 2002-586926 20020429 <--
PRAI DE 2001-10121252 A 20010430 <--
WO 2002-EP4715 W 20020429

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
DE 10121252	ICM	A61K031-381
DE 10121252	ECLA	A61K008/49L; A61K045/06; A61Q019/02; A61K031/381; A61K031/381 <--
JP 2004528360	FTERM	4C084/AA27; 4C084/MA52; 4C084/MA63; 4C084/ZA891; 4C084/ZA892; 4C084/ZB111; 4C084/ZB112; 4C084/ZC201; 4C084/ZC231; 4C086/AA01; 4C086/AA02; 4C086/BB03; 4C086/DA08; 4C086/GA04; 4C086/MA01; 4C086/MA04; 4C086/MA52; 4C086/MA63; 4C086/NA14; 4C086/ZA89; 4C086/ZB11; 4C086/ZC23; 4C091/AA06; 4C091/BB11; 4C091/CC01; 4C091/DD01; 4C091/EE04; 4C091/FF02; 4C091/GG03; 4C091/GG05; 4C091/HH01; 4C091/JJ03; 4C091/KK01; 4C091/LL03; 4C091/LL06; 4C091/MM01; 4C091/NN01; 4C091/PA02; 4C091/PB01; 4C091/QQ02; 4C091/QQ05; 4C091/QQ15; 4C206/AA01; 4C206/AA02; 4C206/CA09; 4C206/CB03; 4C206/DA12; 4C206/KA01; 4C206/MA01; 4C206/MA04; 4C206/MA21; 4C206/MA72; 4C206/MA83; 4C206/ZA89; 4C206/ZB11; 4C206/ZC23 <--

AB The invention discloses the use of lipoxygenase inhibitors for the treatment of acne, in particular inflammatory acne. The lipoxygenase inhibitor can be used alone or into combination with other lipoxygenase inhibitors or with further anti-acne agents in a suitable pharmaceutical composition, in particular via oral and/or local topical application.

ST lipoxygenase inhibitor acne pharmaceutical; inflammatory acne pharmaceutical lipoxygenase inhibitor

IT Hydrazones
RL: RCT (Reactant); RACT (Reactant or reagent)
(aromatic; lipoxygenase inhibitors for treatment of acne)

IT Chamomile
(extract; lipoxygenase inhibitors for treatment of acne)

IT Inflammation
(inflammatory acne; lipoxygenase inhibitors for treatment of acne)

IT Acne
Anti-inflammatory agents
Drug delivery systems
Human
(lipoxygenase inhibitors for treatment of acne)

IT Retinoids
Terpenes, biological studies
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(lipoxygenase inhibitors for treatment of acne)

IT Hydrazones
RL: RCT (Reactant); RACT (Reactant or reagent)
(lipoxygenase inhibitors for treatment of acne)

IT Drug delivery systems
(oral; lipoxygenase inhibitors for treatment of acne)

IT Fatty acids, biological studies
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polyunsatd.; lipoxygenase inhibitors for treatment of acne)

IT Drug delivery systems
(topical; lipoxygenase inhibitors for treatment of acne)

IT 125721-82-6, BIL 226XX
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(BIL 226XX; lipoxygenase inhibitors for treatment of acne)

IT 148915-76-8, BU 4601A
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(BU 4601A; lipoxygenase inhibitors for treatment of acne)
IT 134470-36-3, BW-B 218C
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(BW-B 218C; lipoxygenase inhibitors for treatment of acne)
IT 134470-38-5, BW-B 70C
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(BW-B 70C; lipoxygenase inhibitors for treatment of acne)
IT 131817-86-2, CGS 22745
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CGS 22745; lipoxygenase inhibitors for treatment of acne)
IT 187112-17-0, CHF 1909
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CHF 1909; lipoxygenase inhibitors for treatment of acne)
IT 127378-46-5, CI 987
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CI 987; lipoxygenase inhibitors for treatment of acne)
IT 171095-65-1, CMI 568
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CMI 568; lipoxygenase inhibitors for treatment of acne)
IT 137945-48-3, CT 3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CT 3; lipoxygenase inhibitors for treatment of acne)
IT 146935-39-9, Epocarbazolin A
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Epocarbazolin A; lipoxygenase inhibitors for treatment of acne)
IT 147317-96-2, Nitrosoxacin A
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Nitrosoxacin A; lipoxygenase inhibitors for treatment of acne)
IT 87660-25-1, ONO 5349
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ONO 5349; lipoxygenase inhibitors for treatment of acne)
IT 115255-10-2, ONO-LP 219
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ONO-LP 219; lipoxygenase inhibitors for treatment of acne)
IT 115255-23-7, ONO-LP 269
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ONO-LP 269; lipoxygenase inhibitors for treatment of acne)
IT 187112-44-3, PD 145246
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(PD 145246; lipoxygenase inhibitors for treatment of acne)
IT 187112-47-6, R 840
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(R 840; lipoxygenase inhibitors for treatment of acne)
IT 92532-05-3, Rev 5367
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Rev 5367; lipoxygenase inhibitors for treatment of acne)
IT 101619-08-3, TMK 781
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(TMK 781; lipoxygenase inhibitors for treatment of acne)
IT 101618-31-9, TMK 789
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(TMK 789; lipoxygenase inhibitors for treatment of acne)
IT 96920-48-8, TMK 992
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(TMK 992; lipoxygenase inhibitors for treatment of acne)
IT 135872-69-4, WAY 120739
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(WAY 120739; lipoxygenase inhibitors for treatment of acne)
IT 9029-60-1, Lipoxygenase 80619-02-9, 5-Lipoxygenase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; lipoxygenase inhibitors for treatment of acne)
IT 341-88-8, KF-8940 471-66-9D, derivs. 529-05-5, Chamazulen 631-69-6 1783-84-2 2396-01-2D, Phenyl, 4-acylamino derivs. 4737-27-3, Isoflavanone 27686-84-6, Masoprocol 34334-69-5, Cirsiliol 36441-32-4, DuP-654 46721-85-1, CBS-1114 54845-95-3, 15-HETE 60284-71-1, AHR 5333 66000-40-6, BW755C 67416-61-9 75139-38-7, Carbazomycin B 75706-12-6, Leflunomide 79916-77-1, Forsythiaside 80809-81-0, Docebenone 91431-42-4, Lonapalene 93211-49-5, L-651392 96314-49-7, TEI-8005 96928-53-9, TMK-919 99107-52-5, Bunaprolast 99134-29-9, L651896 99318-09-9, QA-208-199 100035-75-4, Evandamine 101335-99-3, Eprovafen 101619-11-8, TMK-777 101910-24-1, PF-5901 102612-16-8, L-656224 103141-09-9, FPL 62064 103475-41-8, Tepoxalin 104007-80-9, TZI-41127 104153-37-9, Rilopirox 105357-17-3, SC-41661A 107008-29-7, L-652343 107746-52-1, E 5110 107753-78-6, ICI 204219 107889-32-7, LY 178002 110033-17-5, WY 47288 110406-33-2 110545-79-4, SCH 40120 111406-87-2, Zileuton 111525-11-2, A 63162 111908-94-2, SK&F-104351 111908-95-3, SK&F-104493 111974-60-8, WY-48252 112344-52-2, Flobufen 114832-13-2, CGS-8515 114917-95-2, BMY-30094 115104-28-4, MK571 115816-05-2, BI-L-93BS 117574-40-0, CV-6504 118414-82-7, L 663536 118420-47-6, AL-3264 119256-94-9, FR 110302 120072-59-5, SC-41930 120164-49-0, E 6080 120210-48-2, Tenidap 120273-58-7, ICI 207968 120443-16-5, MK679 120602-97-3, RG-6866 121412-39-3, CGS-21595 121502-05-4, PD-127443 122454-69-7, SK&F-105809 122610-85-9, A 65260 123016-21-7, WY-50295 123606-23-5, A 69412 125579-01-3 125722-16-9, Enofelast 127245-22-1, BF-389 127481-38-3, L-674636 128253-31-6, Bay-x-1005 129424-08-4, ICI 211965 130116-16-4, CI-986 130838-15-2, Y-19432 132392-65-5, LY269415 132734-43-1, LY233569 132956-22-0, Enazadrem phosphate 133174-26-2, L-670630 133430-69-0, ETH-615 134822-78-9, CGS-23885 134823-10-2, CGS 24891 135133-84-5, SC-45662 135872-94-5, WAY 121520 138331-04-1, R-68151 138828-39-4, SC-50605 139149-55-6, SB-202235 139340-56-0, CI 1004 140841-32-3, ZD-2138 141059-52-1, SC-51146 141579-54-6, A 76745 141579-67-1, A 78773 141579-87-5, A 79175 143964-80-1, F-1322 145096-30-6, E 3040 147030-01-1, MK-591 147432-77-7, Ontazolast 147497-10-7, CGS 26529 147936-06-9, L-699333 **148490-22-6** 149539-02-6, BI-L-357 150693-65-5, Lagunamycin 152784-11-7, WILD20 153633-01-3, SC-53228 153950-29-9, A 121798 154214-70-7, R-85355 154355-76-7, ABT 761 155944-23-3, ZM 230487 156897-06-2, ML3000 157630-13-2, MER W8020 158930-07-5, L 739010 159776-68-8, Linetastine 161172-51-6, LY 293111 161435-44-5, CGS 25997 162738-34-3 187112-03-4, A 72694 187112-04-5, A 80263 187112-09-0, Bay-q-1531 187112-10-3, BF-397 187112-11-4, BW 4C 187112-12-5, BW-70C 187112-22-7, EF 40 (enzyme inhibitor) 187112-23-8, EN-105 187112-26-1, FPL-64170 187112-28-3, GR-80907 187112-29-4, HP 977 187112-30-7, HX

0386 187112-32-9, L-691816 187112-33-0, Linazolast 187112-35-2, LY-280810 187112-36-3, MM-7002 187112-41-0, P 8892 187112-42-1, P 8977 187112-43-2, PD-136005 187112-50-1, RU-46057 187112-51-2, RU 54808 187112-52-3, SL 81-0433 187112-54-5, SS 81OH 187112-56-7, Tanabe 757 187112-57-8, Tanabe 799 187112-58-9, TMK 685 187112-59-0, TZI 2721 187112-62-5, WAY 125007 187112-64-7, ZD 7717 187112-65-8, ZM 216800 193739-23-0, CMI-392 195215-27-1, Carbazoycin C 195215-52-2, RG 5901A 474655-20-4, VLM 295 474655-21-5, SC 37920 474655-23-7, SDZ 210610
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(lipoxygenase inhibitors for treatment of acne)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD

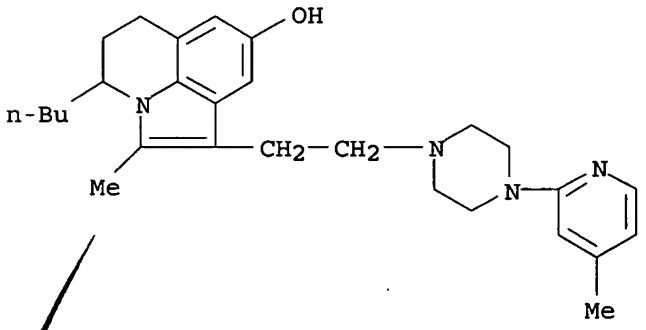
RE

(1) Anon; US 5142095 A HCAPLUS
(2) Anon; US 5196431 A HCAPLUS
(3) Anon; US 5356898 A HCAPLUS
(4) Anon; DE 69004081 T2
(5) Anon; WO 9108744 A HCAPLUS

IT **148490-22-6**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(lipoxygenase inhibitors for treatment of acne)

RN 148490-22-6 HCAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-8-ol, 4-butyl-5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



L13 ANSWER 3 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:31447 HCAPLUS

DN 136:102390

ED Entered STN: 11 Jan 2002

TI Preparation of pyrroloquinolones as viral DNA polymerase inhibitors for antiviral agents

IN Vaillancourt, Valerie A.; Staley, Sandra; Huang, Audris; Nugent, Richard A.; Chen, Ke; Nair, Sajiv K.; Nieman, James A.; Strohbach, Joseph W.

PA Pharmacia & Upjohn Company, USA

SO PCT Int. Appl., 72 pp.
CODEN: PIXXD2

DT Patent

LA English

IC ICM C07D471-04
ICS A61K031-44; C07D471-04; C07D221-00; C07D209-00

CC 28-13 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 7

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

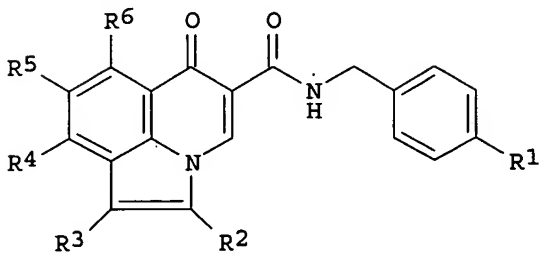
PI WO 2002002558 A1 20020110 WO 2001-US16493 20010625 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 2002055636 A1 20020509 US 2001-888283 20010622 <--
US 6525049 B2 20030225
AU 2001071258 A5 20020114 AU 2001-71258 20010625 <--
EP 1299386 A1 20030409 EP 2001-950235 20010625 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2004502689 T2 20040129 JP 2002-507810 20010625 <--
US 2003153561 A1 20030814 US 2002-288117 20021105 <--
US 6683181 B2 20040127
PRAI US 2000-215986P P 20000705 <--
US 2001-277012P P 20010319 <--
US 2001-888283 A3 20010622
WO 2001-US16493 W 20010625

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
WO 2002002558	ICM	C07D471-04	
	ICS	A61K031-44; C07D471-04; C07D221-00; C07D209-00	
US 2002055636	ECLA	C07D471/06	<--
JP 2004502689	FTERM	4C065/AA07; 4C065/BB04; 4C065/CC09; 4C065/DD01; 4C065/EE02; 4C065/HH08; 4C065/JJ04; 4C065/KK04; 4C065/KK05; 4C065/KK07; 4C065/LL01; 4C065/PP03; 4C065/PP07; 4C065/PP13; 4C065/PP15; 4C065/PP16; 4C065/PP17; 4C065/QQ02; 4C086/AA01; 4C086/AA02; 4C086/AA03; 4C086/AA04; 4C086/CB05; 4C086/MA01; 4C086/MA04; 4C086/MA52; 4C086/MA56; 4C086/MA57; 4C086/MA59; 4C086/NA14; 4C086/ZB33; 4C086/ZC02	<--
US 2003153561	ECLA	C07D471/06	<--

OS MARPAT 136:102390

GI



I

AB The present invention provides compds. of formula [I; R1 = F,Cl, Br, cyano,NO2; R2, R3 = H, halo, OR11, COR7, CO2R11, C3-8 cycloalkyl, partially (un)saturated and optionally substituted C1-7 alkyl; R4, R5 = H, halo, aryl, S(Om)R7, COR7, CO2R10, cyano, heterocyclyl, OR11, heterocyclyloxy, (un)substituted NH2, SR11, heterocyclylthio, NHCOR13, NHSO2R13, C3-8 cycloalkyl, partially (un)saturated and optionally substituted C1-7 alkyl; R6 = H, halo, C3-8 cycloalkyl, C1-4 alkyl optionally substituted by 1-3 halo; wherein R7 = C1-7 alkyl, C3-8 cycloalkyl, (un)substituted NH2, aryl, heterocyclyl; R10 = aryl, heterocyclyl, C3-8

cycloalkyl, partially (un)saturated and optionally substituted C1-7 alkyl; R11 = H, aryl, C3-8 cycloalkyl, C1-7 alkyl optionally substituted by OH; R13 = H, aryl, C3-8 cycloalkyl, optionally substituted C1-7 alkyl], or pharmaceutically acceptable salts, racemates, solvates, tautomers, optical isomers, or prodrug derivs. thereof. These compds. are useful as antiviral agents, in particular, as agents against viruses of the herpes family including herpes simplex virus type 1, herpes simplex virus type 2, varicella zoster virus, cytomegalovirus, Epstein-Barr virus, human herpesvirus 6, human herpesvirus 7, human herpesvirus 8, or other human herpesviruses. Thus, a solution of N-(4-chlorobenzyl)-4-hydroxy-8-iodo-6-(tetrahydro-2H-pyran-4-ylmethyl)-3-quinolinecarboxamide (0.16 g), PdCl2(PPh3)2, CuI (0.018 g) and 3-butyn-1-ol (0.03 mL) in 15 mL Et2NH was stirred at room temperature for 7 days to give 71% N-(4-Chlorobenzyl)-2-(2-hydroxyethyl)-6-oxo-8-(tetrahydro-2H-pyran-4-ylmethyl)-6H-pyrrolo[3,2,1-ij]quinoline-5-carboxamide (II). II in vitro showed IC50 of 0.13, 0.14, and 0.1 μM against cytomegalovirus polymerase, herpes simplex virus polymerase, and varicella zoster virus, resp.

ST pyrroloquinolinecarboxamide prepn antiviral; pyrroloquinolone prepn viral DNA polymerase inhibitor; antiviral agent herpesvirus pyrroloquinolone prepn

IT Antiviral agents
Cytomegalovirus
Human herpesvirus 1
Human herpesvirus 2
Human herpesvirus 3
Human herpesvirus 4
Human herpesvirus 6
Human herpesvirus 7
Human herpesvirus 8
(preparation of pyrroloquinolones as viral DNA polymerase inhibitors for antiviral agents against human herpesviruses)

IT 9012-90-2, DNA polymerase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(cytomegalovirus, herpes simplex virus polymerase, and varicella zoster virus; preparation of pyrroloquinolones as viral DNA polymerase inhibitors for antiviral agents)

IT 2767-70-6P, (4-Nitrobenzyl)triphenylphosphonium bromide 6425-46-3P, 4-(4-Nitrobenzyl)morpholine 14044-59-8P, 4-Pent-4-ynylmorpholine 14256-74-7P 14731-39-6P 15240-89-8P 29777-09-1P 51013-67-3P, 4-(4-Aminobenzyl)morpholine 62875-84-7P, Ethyl 4-amino-3-iodobenzoate 281652-22-0P, 4-(4-Nitrobenzylidene)tetrahydro-2H-pyran 388121-64-0P 388121-65-1P 388121-66-2P 388121-68-4P 388121-69-5P 388121-70-8P 388121-71-9P 388121-72-0P 388121-73-1P 388121-83-3P 388121-84-4P 388121-90-2P 388121-91-3P 388121-92-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrroloquinolones as viral DNA polymerase inhibitors for antiviral agents)

IT 388121-63-9P 388121-67-3P 388121-74-2P 388121-75-3P 388121-76-4P 388121-77-5P 388121-78-6P 388121-79-7P 388121-80-0P 388121-81-1P 388121-82-2P 388121-85-5P 388121-86-6P 388121-87-7P 388121-88-8P 388121-89-9P 388121-93-5P 388121-94-6P 388121-95-7P 388121-96-8P 388121-97-9P 388121-98-0P 388121-99-1P 388122-00-7P 388122-01-8P 388122-02-9P 388122-03-0P 388122-04-1P 388122-05-2P 388122-06-3P 388122-07-4P 388122-08-5P 388122-09-6P 388122-10-9P 388122-11-0P **388122-12-1P 388122-13-2P 388122-14-3P 388122-15-4P 388122-16-5P** 388122-17-6P 388122-18-7P 388122-20-1P 388122-21-2P 388122-22-3P 388122-23-4P 388122-24-5P 388122-25-6P 388122-26-7P 388122-27-8P 388122-28-9P 388122-29-0P 388122-30-3P 388122-31-4P 388122-32-5P 388122-33-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrroloquinolones as viral DNA polymerase inhibitors for antiviral agents)

IT 87-13-8, Diethyl ethoxymethylenemalonate 94-09-7, Ethyl 4-aminobenzoate 100-11-8, 4-Nitrobenzyl bromide 104-86-9, 4-Chlorobenzylamine 107-19-7, Propargyl alcohol 110-91-8, Morpholine, reactions 603-35-0, Triphenylphosphine, reactions 627-41-8, Methyl propargyl ether 927-74-2, 3-Butyn-1-ol 927-74-2D, 3-Butyn-1-ol, sulfonated polymer-supported 5221-62-5, Prop-2-ynylurea 5390-04-5, 4-Pentyn-1-ol 5651-88-7, Phenyl propargyl sulfide 7223-38-3, 1-Dimethylamino-2-propyne 7310-92-1 10442-03-2 29943-42-8, Tetrahydro-4H-pyran-4-one 35161-71-8, N-Methylpropargylamine 42969-65-3, (R)-(+)-3-Butyn-2-ol 281652-42-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of pyrroloquinolones as viral DNA polymerase inhibitors for antiviral agents)

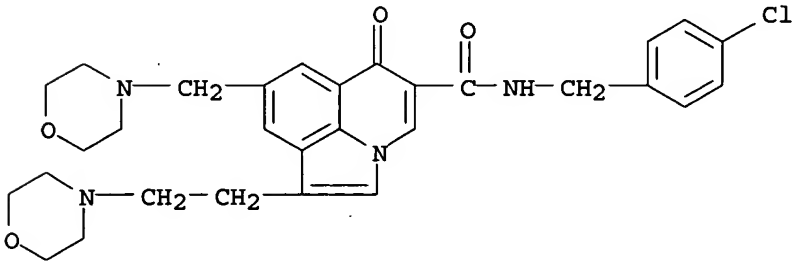
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

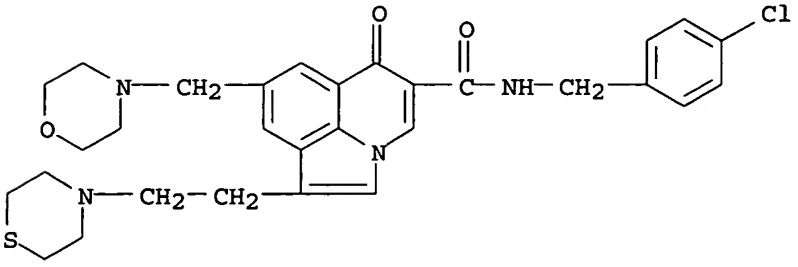
(1) Chiroscience Ltd; WO 9730999 A 1997 HCAPLUS
(2) Chiroscience Ltd; WO 9731000 A 1997 HCAPLUS
(3) Gerster, J; US 3917609 A 1975 HCAPLUS
(4) Strohbach, J; WO 9932450 A 1999 HCAPLUS
(5) Strohbach, J; WO 0040561 A 2000 HCAPLUS

IT **388122-12-1P 388122-13-2P 388122-14-3P 388122-15-4P 388122-16-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrroloquinolones as viral DNA polymerase inhibitors for antiviral agents)

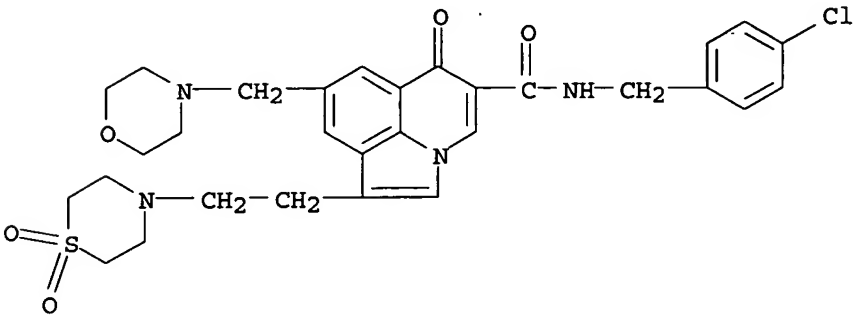
RN 388122-12-1 HCAPLUS
CN 6H-Pyrrolo[3,2,1-ij]quinoline-5-carboxamide, N-[(4-chlorophenyl)methyl]-1-[2-(4-morpholinyl)ethyl]-8-(4-morpholinylmethyl)-6-oxo- (9CI) (CA INDEX NAME)



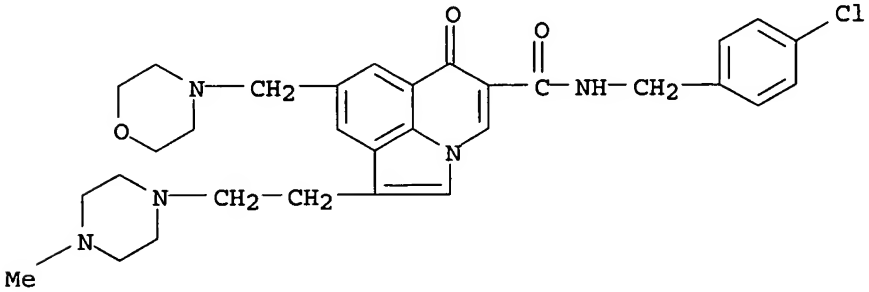
RN 388122-13-2 HCAPLUS
CN 6H-Pyrrolo[3,2,1-ij]quinoline-5-carboxamide, N-[(4-chlorophenyl)methyl]-8-(4-morpholinylmethyl)-6-oxo-1-[2-(4-thiomorpholinyl)ethyl]- (9CI) (CA INDEX NAME)



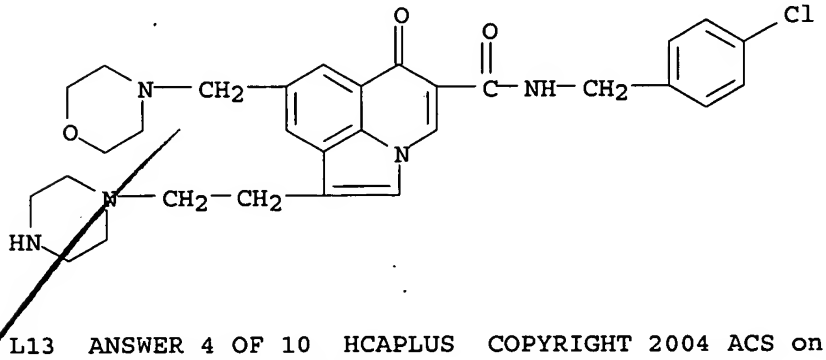
RN 388122-14-3 HCAPLUS
CN 6H-Pyrrolo[3,2,1-ij]quinoline-5-carboxamide, N-[(4-chlorophenyl)methyl]-1-[2-(1,1-dioxido-4-thiomorpholinyl)ethyl]-8-(4-morpholinylmethyl)-6-oxo- (9CI) (CA INDEX NAME)



RN 388122-15-4 HCAPLUS
CN 6H-Pyrrolo[3,2,1-ij]quinoline-5-carboxamide, N-[(4-chlorophenyl)methyl]-1-[2-(4-methyl-1-piperazinyl)ethyl]-8-(4-morpholinylmethyl)-6-oxo- (9CI) (CA INDEX NAME)



RN 388122-16-5 HCAPLUS
CN 6H-Pyrrolo[3,2,1-ij]quinoline-5-carboxamide, N-[(4-chlorophenyl)methyl]-8-(4-morpholinylmethyl)-6-oxo-1-[2-(1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)



L13 ANSWER 4 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:453066 HCAPLUS
DN 135:61239
ED Entered STN: 22 Jun 2001
TI Preparation of 11H,12H,14H-pyrrolo[3,4-c]quinolino[8',8a',1':3,2,1]-pyrrolo[2,3-a]carbazole-5,7-diones for the treatment of proliferative diseases
IN Al-Awar, Rima Salim; Hecker, Kyle Andrew; Huang, Jianping; Joseph, Sajan;

Li, Tiechao; Paal, Michael; Rathnachalam, Radhakrishnan; Ray, James Edward; Shih, Chuan; Waid, Philip Parker; Zhou, Xun; Zhu, Guoxin
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 261 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D471-06
ICS A61K031-55; A61K031-4353; A61P035-00; C07D487-22; C07D471-22; C07D487-16; C07D487-06; C07D498-22; C07D513-06; C07D513-22; C07H015-12; C07F007-18; C07D471-06; C07D221-00; C07D209-00; C07D487-22; C07D243-00; C07D209-00; C07D209-00
CC 27-11 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 34, 63
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001044247	A2	20010621	WO 2000-US33273	20001218 <--
WO 2001044247	A3	20020103		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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EP 1242420	A2	20020925	EP 2000-984043	20001218 <--
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US 2003229026	A1	20031211	US 2002-130493	20021202 <--
PRAI US 1999-171087P	P	19991216 <--		
US 1999-171220P	P	19991216 <--		
WO 2000-US33273	W	20001218 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2001044247	ICM	C07D471-06
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OS CASREACT 135:61239; MARPAT 135:61239
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; A, B = O, S; X, Y = H; or X and Y, taken together, form a bond; R1 = H, alkyl; R2 = halo, CN, alkyl, etc.; R3 = aryl, heteroaryl, etc.; R4 = H, alkyl, etc.; R5 = halo, CN, alkyl, etc.; R6 = alkyl; R7 = alkoxycarbonyl, (CH2)mZ (m = 0-5; Z = halo, OH, etc.); Q1 = O, SOn (n = 0-2), (CH2)1-3; Q2 = carbon-carbon single or double bond, etc.; Q3 = (CH2)1-3], useful for inhibiting CDK4, were prepared and formulated. E.g., a multi-step synthesis of II which showed activity (0.1055 μM) in assay of cyclin D1-CDK4 kinase with the ING peptide as substrate, and also was found to inhibit cell growth and Rb (retinoblastoma protein) phosphorylation, was given.

ST pyrroloquinolinopyrrolocarbazoledione prepn formulation antitumor cyclin dependent kinase CDK4 inhibitor; retinoblastoma protein phosphorylation inhibitor pyrroloquinolinopyrrolocarbazoledione prepn formulation

IT Transcription factors
RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)
(Rb, phosphorylation of; preparation of 11H,12H,14H-pyrrolo[3,4-c]quinolino[8',8a',1':3,2,1]-pyrrolo[2,3-a]carbazole-5,7-diones for the treatment of proliferative diseases)

IT Antitumor agents
Cyclin dependent kinase inhibitors
(preparation of 11H,12H,14H-pyrrolo[3,4-c]quinolino[8',8a',1':3,2,1]-pyrrolo[2,3-a]carbazole-5,7-diones for the treatment of proliferative diseases)

IT 345261-20-3P 345261-21-4P 345261-22-5P 345261-23-6P 345261-24-7P
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345263-95-8P 345264-01-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 11H,12H,14H-pyrrolo[3,4-c]quinolino[8',8a',1':3,2,1]-pyrrolo[2,3-a]carbazole-5,7-diones for the treatment of proliferative diseases)

IT 345261-64-5P 345261-70-3P 345261-71-4P 345261-72-5P 345261-73-6P
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 11H,12H,14H-pyrrolo[3,4-c]quinolino[8',8a',1':3,2,1]-pyrrolo[2,3-a]carbazole-5,7-diones for the treatment of proliferative diseases)

IT 147014-97-9 166433-53-0
RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)
(preparation of 11H,12H,14H-pyrrolo[3,4-c]quinolino[8',8a',1':3,2,1]-pyrrolo[2,3-a]carbazole-5,7-diones for the treatment of proliferative diseases)

IT 67-64-1, Acetone, reactions 70-23-5, Ethyl bromopyruvate 106-95-6, Allyl bromide, reactions 107-11-9, Allylamine 109-85-3, (2-Methoxyethyl)amine 110-89-4, Piperidine, reactions 110-91-8, Morpholine, reactions 123-75-1, Pyrrolidine, reactions 123-90-0, Thiomorpholine 156-87-6, Propanolamine 328-50-7, 2-Ketoglutaric acid 503-29-7, Azetidine 529-34-0, α-Tetralone 534-03-2, 2-Amino-1,3-propanediol 580-15-4, 6-Aminoquinoline 591-54-8, 4-Aminopyrimidine 611-34-7, 5-Aminoquinoline 612-57-7, 6-Chloroquinoline 612-61-3, 7-Chloroquinoline 616-30-8, 2,3-Dihydroxypropylamine 617-35-6, Ethyl pyruvate 635-46-1, 1,2,3,4-Tetrahydroquinoline 687-64-9, Lysine methyl ester 879-37-8, (Indol-3-yl)acetamide 1074-88-0, Indole-7-carboxaldehyde 1119-51-3, 5-Bromo-1-pentene 1215-59-4, 5-Benzyloxyindole 1670-82-2, Indole-6-carboxylic acid 1692-25-7, Pyridine-3-boronic acid 1765-93-1, 4-Fluorobenzeneboronic acid 2483-46-7 3395-91-3, Methyl 3-bromopropionate 3886-08-6 4330-21-6, 3,5-Di-O-(p-toluyl)-2-deoxy-α-D-ribofuranosyl chloride 4363-93-3, Quinoline-4-carboxaldehyde 4530-20-5, N-tert-Butoxycarbonylglycine 4795-29-3, 2-(Aminomethyl)tetrahydrofuran 4897-84-1, Methyl 4-bromobutyrate 5325-20-2, 2H-1,4-Benzothiazin-3(4H)-one 5470-96-2, Quinoline-2-carboxaldehyde 7284-37-9, 1-Amino-1-deoxy-β-D-glucose 7531-52-4, L-Prolinamide 7633-56-9, N-Aminoindoline 13515-97-4, DL-Alanine methyl ester hydrochloride 14465-61-3, 1,2-Dihydro-2,2-dimethylquinoline 15761-38-3, N-tert-Butoxycarbonyl-L-alanine 15861-36-6, 6-Cyanoindole 17114-97-5 23159-07-1, 1-(3-Aminopropyl)pyrrolidine 27578-60-5, 1-(2-Aminoethyl)piperidine 39178-35-3 40149-67-5, DL-Aspartic acid dimethyl ester 40499-83-0, 3-Hydroxypyrrolidine 51417-51-7, 7-Bromo-1H-indole 51482-39-4 52415-29-9, 6-Bromoindole 56344-32-2 60537-19-1 78304-53-7, 5-Phenoxyindole 91182-86-4 104295-51-4 105454-25-9 117142-26-4 132664-85-8, 2-(Aminomethyl)-5-methylpyrazine 137049-00-4 150114-41-3, 1-Methylindole-3-acetamide 152213-62-2, 6-Bromoindole-3-acetamide 169674-01-5, 5,6-Difluoroindole 189016-82-8 220407-33-0 345263-49-2 345264-85-9 345264-86-0 345264-87-1 345264-88-2 345264-89-3 345264-90-6 345264-91-7 345264-92-8 345264-93-9 345264-94-0 345264-95-1 345264-96-2 345264-97-3 345264-98-4 345264-99-5 345265-00-1 345265-01-2 345265-02-3 345265-03-4 345265-04-5 345265-05-6 345265-06-7 345265-07-8

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RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 11H,12H,14H-pyrrolo[3,4-c]quinolino[8',8a',1':3,2,1]-pyrrolo[2,3-a]carbazole-5,7-diones for the treatment of proliferative diseases)

IT 209-78-9P, Pyrrolo[3,2,1-hi]indole 394-69-4P, 5-Fluoroquinoline
1075-26-9P, 1H-Indole-6-methanol 1196-70-9P, 1H-Indole-6-carboxaldehyde
1701-57-1P, 2,3,4,5-Tetrahydro-1H-benzo[b]azepine 3080-99-7P
3349-64-2P 4424-80-0P, 1,3,4,5-Tetrahydrobenzo[b]azepin-2-one
5840-01-7P 20364-30-1P, 1,2,3,4-Tetrahydro-2,2-dimethylquinoline
21005-51-6P 22715-22-6P, 4,5-Dihydro-pyrrolo[3,2,1-hi]indole
40971-36-6P 46054-15-3P, 1H-Indole-6-ethanamine 50820-65-0P
59611-52-8P 62995-58-8P 90562-35-9P 92506-77-9P 94239-08-4P
98622-14-1P 116476-45-0P, 4,5,6,7-Tetrahydroazepino[3,2,1-hi]indole
118726-60-6P, 4,5-Dihydro-pyrrolo[3,2,1-hi]indole-2-carboxylic acid
124730-53-6P 124730-54-7P 124730-56-9P 131849-21-3P 141650-35-3P
147621-16-7P, 6-(4-Fluorophenyl)indole 152712-40-8P 152712-44-2P
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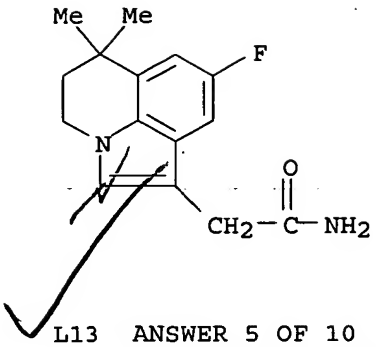
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 11H,12H,14H-pyrrolo[3,4-c]quinolino[8',8a',1':3,2,1]-pyrrolo[2,3-a]carbazole-5,7-diones for the treatment of proliferative diseases)

IT 345264-52-0P, 1H-Indole-7-ethanol 345264-69-9P 345265-47-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of 11H,12H,14H-pyrrolo[3,4-c]quinolino[8',8a',1':3,2,1]-pyrrolo[2,3-a]carbazole-5,7-diones for the treatment of proliferative diseases)

IT **345264-47-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 11H,12H,14H-pyrrolo[3,4-c]quinolino[8',8a',1':3,2,1]-pyrrolo[2,3-a]carbazole-5,7-diones for the treatment of proliferative diseases)

RN 345264-47-3 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline-1-acetamide, 8-fluoro-5,6-dihydro-6,6-dimethyl- (9CI) (CA INDEX NAME)



L13 ANSWER 5 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:453056 HCAPLUS
DN 135:61238
ED Entered STN: 22 Jun 2001
TI Preparation of maleimide and carbazole derivatives for the treatment of proliferative diseases
IN Al-Awar, Rima Salim; Hecker, Kyle Andrew; Huang, Jianping; Joseph, Sajan; Ray, James Edward; Waid, Philip Parker
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D403-14
ICS A61K031-407; A61P035-00
CC 27-11 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001044235	A2	20010621	WO 2000-US33274	20001218 <--
	WO 2001044235	A3	20020117		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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	EP 1250334	B1	20040519		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
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	US 2003092676	A1	20030515	US 2002-130801	20020521 <--
	US 6743785	B2	20040601		
PRAI	US 1999-171219P	P	19991216 <--		
	US 1999-171269P	P	19991216 <--		
	WO 2000-US33274	W	20001218 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2001044235	ICM	C07D403-14
	ICS	A61K031-407; A61P035-00
US 2003092676	ECLA	C07D487/22; C07D487/22; C07F007/18C4D4D <--

OS MARPAT 135:61238
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; A, B = O, S; X, Y = H; or X and Y, taken together, form a bond; R1 = H, alkyl; R5, R51 = halo, CN, alkyl, etc.; R6, R61 = alkyl; R7, R71 = alkoxycarbonyl, (CH2)mZ; Z = halo, OH, CO2H, etc.; Q1, Q6 = O, SOn, (CH2)1-3; Q2, Q5 = carbon-carbon single or double bond, NH, etc.; Q3, Q4 = (CH2)1-3; m = 0-5; n = 0-2], useful for inhibiting CDK4, were prepared and formulated. E.g., a multi-step synthesis of II.HCl which showed activity (0.6051 μM) in assay of cyclin D1-cdk4 kinase with the ING peptide as substrate, was given. Some of compds. I were found to inhibit cell growth and to inhibit Rb (retinoblastoma protein) phosphorylation.

ST cyclin dependent kinase CDK4 inhibitor maleimide carbazole prepn formulation; antitumor maleimide carbazole prepn formulation; retinoblastoma protein phosphorylation inhibitor maleimide carbazole prepn formulation

IT Transcription factors
RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)
(Rb, phosphorylation of; inhibitors; preparation of maleimide and carbazole derivs. for the treatment of proliferative diseases)

IT Antitumor agents
Cyclin dependent kinase inhibitors
(preparation of maleimide and carbazole derivs. for the treatment of proliferative diseases)

IT 345333-87-1P 345334-01-2P 345334-09-0P 345334-21-6P 345334-33-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of maleimide and carbazole derivs. for the treatment of proliferative diseases)

IT 345333-91-7P 345333-95-1P 345333-99-5P 345334-03-4P 345334-05-6P
345334-13-6P 345334-17-0P 345334-25-0P 345334-29-4P 345334-37-4P
345334-41-0P 345334-45-4P 345334-49-8P 345334-53-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of maleimide and carbazole derivs. for the treatment of proliferative diseases)

IT 147014-97-9 166433-53-0
RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)
(preparation of maleimide and carbazole derivs. for the treatment of proliferative diseases)

IT 70-23-5, Ethyl bromopyruvate 106-95-6, Allyl bromide, reactions
107-11-9, Allylamine 328-50-7, 2-Ketoglutaric acid 529-34-0,
α-Tetralone 580-15-4, 6-Aminoquinoline 611-34-7,
5-Aminoquinoline 612-57-7, 6-Chloroquinoline 612-61-3,
7-Chloroquinoline 617-35-6, Ethyl pyruvate 635-46-1,
1,2,3,4-Tetrahydroquinoline 687-64-9, L-Lysine methyl ester 1074-88-0,
Indole-7-carboxaldehyde 1119-51-3, 5-Bromo-1-pentene 1215-59-4,
5-Benzyloxyindole 1670-82-2, Indole-6-carboxylic acid 1692-25-7,
Pyridine-3-boronic acid 1765-93-1, 4-Fluorobenzeneboronic acid
3395-91-3, Methyl 3-bromopropionate 3886-08-6 4363-93-3,
Quinoline-4-carboxaldehyde 4897-84-1, Methyl 4-bromobutyrate
5325-20-2, 2H-1,4-Benzothiazin-3(4H)-one 5470-96-2, Quinoline-2-carboxaldehyde 7633-56-9, N-Aminoindoline 13515-97-4, DL-Alanine methyl ester hydrochloride 14465-61-3, 1,2-Dihydro-2,2-dimethylquinoline
15861-36-6, 6-Cyanoindole 17114-97-5 51417-51-7, 7-Bromo-1H-indole
51482-39-4 52415-29-9, 6-Bromoindole 75315-63-8, N-

(Benzyloxycarbonyl)succinimide 78304-53-7, 5-Phenoxyindole
169674-01-5, 5,6-Difluoroindole 189016-82-8 345264-85-9 345264-86-0
345264-90-6 345264-91-7 345264-92-8 345265-10-3 345265-41-0
345336-95-0 345337-08-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of maleimide and carbazole derivs. for the treatment of proliferative diseases)

IT 394-69-4P 1075-26-9P, 1H-Indole-6-methanol 1196-70-9P,
1H-Indole-6-carboxaldehyde 1701-57-1P 3080-99-7P 3349-64-2P
4424-80-0P 5840-01-7P 20364-30-1P, 1,2,3,4-Tetrahydro-2,2-dimethylquinoline 21005-51-6P 22715-22-6P 40971-36-6P 46054-15-3P,
1H-Indole-6-ethanamine 50820-65-0P 59611-52-8P 62995-58-8P
67752-53-8P 90562-35-9P 92108-32-2P 92506-77-9P 94239-08-4P,
7-Vinyl-1H-indole 98622-14-1P 116476-45-0P 118726-60-6P
124730-53-6P 124730-54-7P 124730-56-9P 131849-21-3P 141650-35-3P
147621-16-7P, 6-(4-Fluorophenyl)indole 152712-40-8P 152712-44-2P
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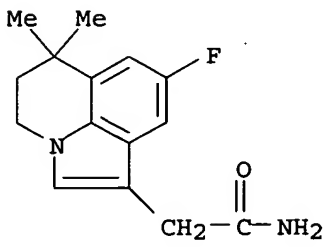
345264-82-6P 345264-83-7P 345264-84-8P 345334-99-8P 345335-06-0P
345335-52-6P 345336-72-3P 345336-85-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of maleimide and carbazole derivs. for the treatment of proliferative diseases)

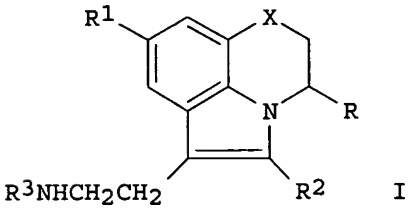
IT 209-78-9P, Pyrrolo[3,2,1-hi]indole 345264-69-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of maleimide and carbazole derivs. for the treatment of proliferative diseases)

IT **345264-47-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of maleimide and carbazole derivs. for the treatment of proliferative diseases)

RN 345264-47-3 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline-1-acetamide, 8-fluoro-5,6-dihydro-6,6-dimethyl- (9CI) (CA INDEX NAME)



L13 ANSWER 6 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:380069 HCAPLUS
DN 133:150448
ED Entered STN: 08 Jun 2000
TI Pyrrolo[3,2,1-ij]quinoline derivatives, 5-HT2c receptor agonists with selectivity over the 5-HT2a receptor: potential therapeutic applications for epilepsy and obesity
AU Isaac, Methvin; Slassi, Abdelmalik; O'Brien, Anne; Edwards, Louise; MacLean, Neil; Bueschkens, Donna; Lee, David K. H.; McCallum, Kirk; De Lannoy, Ines; Demchyshyn, Lidia; Kamboj, Rajender
CS NPS Allelix Corp., Mississauga, ON, L4V 1V7, Can.
SO Bioorganic & Medicinal Chemistry Letters (2000), 10(9), 919-921
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
CC 27-17 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 28
GI



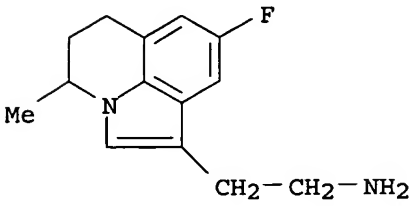
I

AB Title compds. I (R, R2, R3 = H, Me; R1 = H, F, Cl; X = CH2, S) were prepared and found to be agonists at 5-HT2c receptors with selectivity over 5-HT2a.
ST pyrroloquinolineethanamine deriv prepn 5HT2c receptor agonist
IT 5-HT receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(5-HT2C; pyrroloquinolineethanamine derivs. as agonists of)
IT **287104-18-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and selective 5-HT2c receptor agonist activity of)
IT 33131-92-9P 40619-71-4P **287104-19-2P 287104-20-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and selective 5-HT2c receptor agonist activity of)
RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Goldstein, S; Synthesis 1989, V221
(2) Grandberg, I; Khim Geterotsikl Soedin 1973, V2, P213
(3) Hoyer, D; Pharmacological Rev 1994, V46, P157 HCAPLUS
(4) Kahn, R; Biol Psychiat 1991, V30, P1139 HCAPLUS
(5) Martin, J; J Med Chem 1997, V40, P2762
(6) Martin, J; J Pharmacol Exp Ther 1998, V286, P913 HCAPLUS
(7) Steck, A; J Heterocycl Chem 1974, V11, P387
(8) Tecott, L; Nature 1995, V374, P542 HCAPLUS
(9) van Wijngaarden, I; Med Chem 1993, V36, P3693 HCAPLUS
(10) Watt, S; J Pharmacol Exp Ther 1996, V279, P1541
(11) Wright, D; J Comp Neurol 1995, V351, P357 HCAPLUS
IT **287104-18-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and selective 5-HT2c receptor agonist activity of)

RN 287104-18-1 HCAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinoline-1-ethanamine, 8-fluoro-5,6-dihydro-4-methyl- (9CI) (CA INDEX NAME)

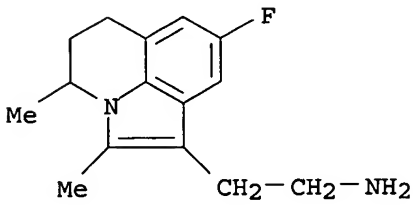


IT **287104-19-2P 287104-20-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and selective 5-HT2c receptor agonist activity of)

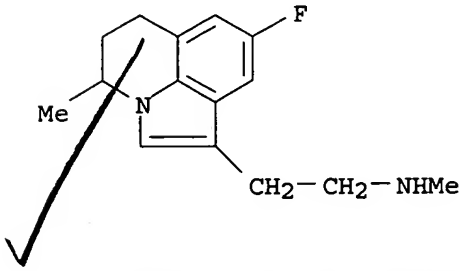
RN 287104-19-2 HCAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinoline-1-ethanamine, 8-fluoro-5,6-dihydro-2,4-dimethyl- (9CI) (CA INDEX NAME)



RN 287104-20-5 HCAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinoline-1-ethanamine, 8-fluoro-5,6-dihydro-N,4-dimethyl- (9CI) (CA INDEX NAME)



L13 ANSWER 7 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1995:352128 HCAPLUS

DN 122:160453

ED Entered STN: 15 Feb 1995

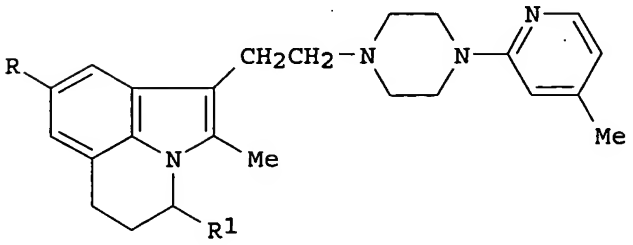
TI Synthesis, Structure-Activity Relationships, and Pharmacological Evaluation of Pyrrolo[3,2,1-ij]quinoline Derivatives: Potent Histamine and Platelet Activating Factor Antagonism and 5-Lipoxygenase Inhibitory Properties. Potential Therapeutic Application in Asthma

AU Paris, Dominique; Cottin, Michel; Demonchaux, Patrice; Augert, Guy; Dupassieux, Pierre; Lenoir, Patrick; Peck, Michael J.; Jasserand, Daniel

CS Laboratoires de Therapeutique Moderne, Solvay Pharma, Chatillon-sur-

Chalaronne, 01400, Fr.
SO Journal of Medicinal Chemistry (1995), 38(4), 669-85
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
CC 27-18 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1

GI



I

AB A series of pyrrolo[3,2,1-ij]quinoline derivs., e.g. I (R = H, Me NH2, cinnamoyl, benzyl; R1 = H, Bu) was synthesized and evaluated for their in vitro and in vivo activities against histamine, platelet activating factor (FAC), and leukotrienes which are recognized to be of importance in asthma. The structure-activity relationship studies have shown that the optimum moiety on the 1-position of the pyrroloquinoline nucleus is a 2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl chain in conjunction with a Me group on the 2-position for potent antagonism of both histamine and PAF. The introduction of substituents on the 8- and 4-positions was also investigated in order to increase the potency of 5-lipoxygenase inhibition while retaining or improving the activities against histamine and PAF. This series is exemplified by 4-n-butyl-5,6-dihydro-8-hydroxy-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]-4H-pyrrolo[3,2,1-ij]quinoline (I, R = OH, R1 = Bu) (KC 11404) which was found to be active against all three of the selected mediators. KC 11404 was found to be orally active in guinea pig models against the histaminic phase of antigen-induced bronchospasm and PAF-induced bronchoconstriction (ED50 = 1.9 and 2.1 μmol/kg, resp.). When tested against the leukotriene-dependent phase of the antigen-induced bronchoconstriction, compound KC 11404 showed the same potency as zileuton.

ST pyridinylpiperazinylethylpyrroloquinoline prepn asthma treatment; histamine activating factor pyrroloquinoline; platelet activating factor pyrroloquinoline; lipoxygenase inhibitor pyrroloquinoline

IT Antihistaminics
(synthesis, structure-activity relationships, and pharmacol. evaluation of pyrroloquinolines for therapeutic application in asthma)

IT Bronchodilators
(antiasthmatics, synthesis, structure-activity relationships, and pharmacol. evaluation of pyrroloquinolines for therapeutic application in asthma)

IT Molecular structure-biological activity relationship
(asthma-inhibiting, synthesis, structure-activity relationships, and pharmacol. evaluation of pyrroloquinolines for therapeutic application in asthma)

IT 65154-06-5, Platelet Activating Factor
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antagonists; synthesis, structure-activity relationships, and pharmacol. evaluation of pyrroloquinolines for therapeutic application in asthma)

IT 80619-02-9, 5-Lipoxygenase
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; synthesis, structure-activity relationships, and pharmacol. evaluation of pyrroloquinolines for therapeutic application in asthma)

IT 148489-92-3P 148489-93-4P 148489-94-5P 148489-95-6P
148489-96-7P 148489-97-8P 148489-98-9P 148489-99-0P
148490-04-4P 148490-08-8P **148490-10-2P** 148490-11-3P
148490-12-4P 148490-14-6P 148490-15-7P
148490-16-8P 148490-17-9P 148490-23-7P 148490-25-9P
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161151-16-2P 161151-17-3P 161151-18-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis, structure-activity relationships, and pharmacol. evaluation of pyrroloquinolines for therapeutic application in asthma)

IT **148490-22-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis, structure-activity relationships, and pharmacol. evaluation of pyrroloquinolines for therapeutic application in asthma)

IT 91-22-5, Quinoline, reactions 91-62-3, 6-Methylquinoline 91-63-4, 2-Methylquinoline 5263-87-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis, structure-activity relationships, and pharmacol. evaluation of pyrroloquinolines for therapeutic application in asthma)

IT 1780-19-4P 5825-45-6P 24005-23-0P 27328-23-0P 40624-66-6P
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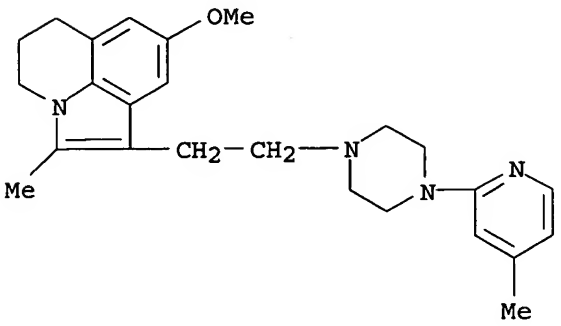
161151-66-2P 161151-67-3P 161151-68-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis, structure-activity relationships, and pharmacol. evaluation of pyrroloquinolines for therapeutic application in asthma)

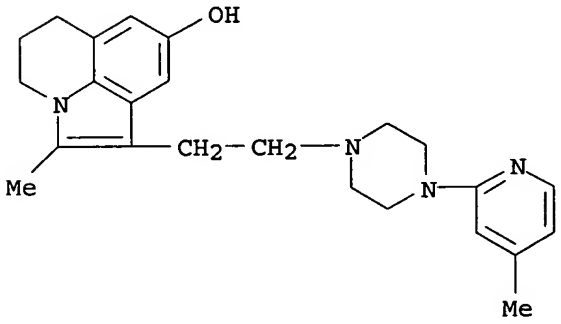
IT **148489-96-7P 148489-97-8P 148490-10-2P**
148490-12-4P 148490-14-6P 148490-16-8P
148490-17-9P 148490-60-2P 148490-62-4P
148490-63-5P 148490-66-8P 161151-05-9P
161151-13-9P 161151-14-0P 161151-15-1P
161151-16-2P 161151-17-3P 161151-18-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

study); PREP (Preparation)
(synthesis, structure-activity relationships, and pharmacol. evaluation of pyrroloquinolines for therapeutic application in asthma)

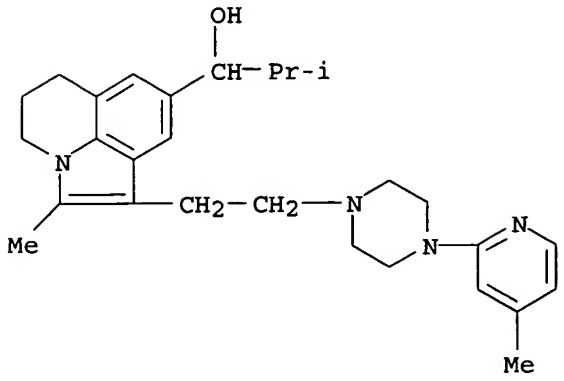
RN 148489-96-7 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline, 5,6-dihydro-8-methoxy-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



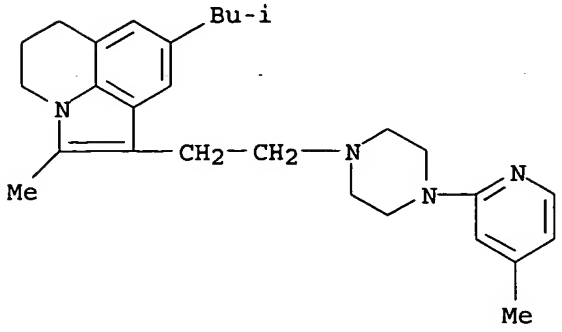
RN 148489-97-8 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinolin-8-ol, 5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



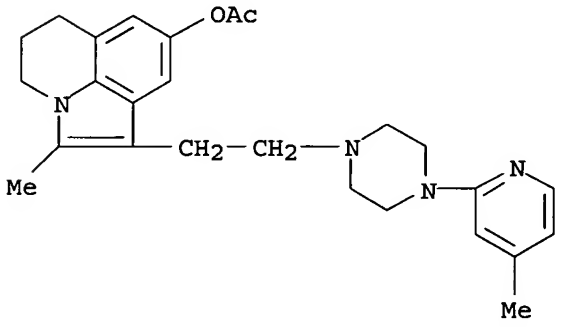
RN 148490-10-2 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline-8-methanol, 5,6-dihydro-2-methyl-α-(1-methylethyl)-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



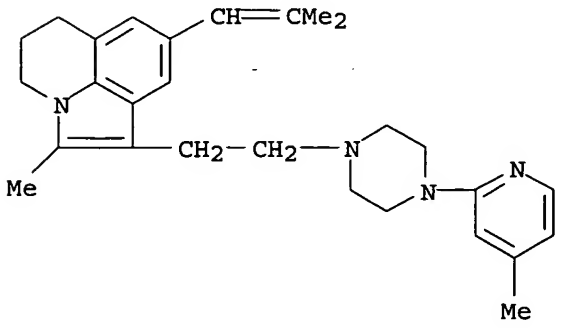
RN 148490-12-4 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline, 5,6-dihydro-2-methyl-8-(2-methylpropyl)-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



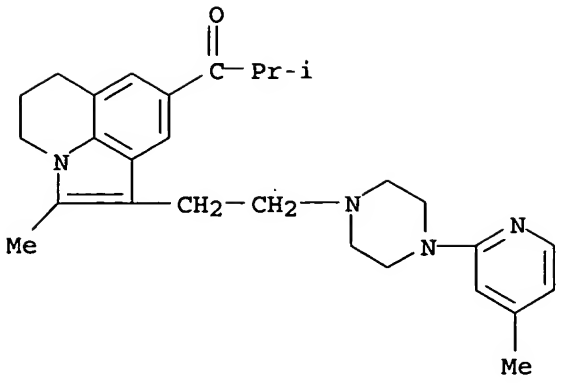
RN 148490-14-6 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinolin-8-ol, 5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]-, acetate (ester) (9CI) (CA INDEX NAME)



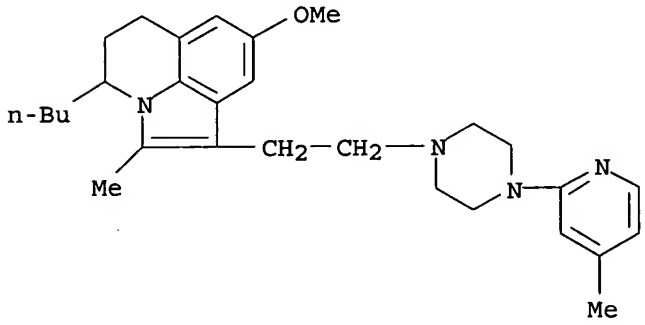
RN 148490-16-8 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline, 5,6-dihydro-2-methyl-8-(2-methyl-1-propenyl)-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



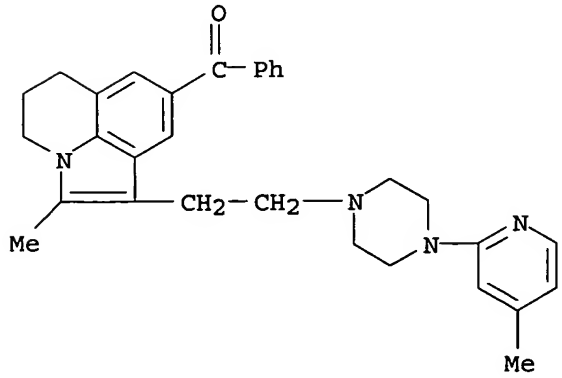
RN 148490-17-9 HCAPLUS
CN 1-Propanone, 1-[5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]-4H-pyrrolo[3,2,1-ij]quinolin-8-yl]-2-methyl- (9CI) (CA INDEX NAME)



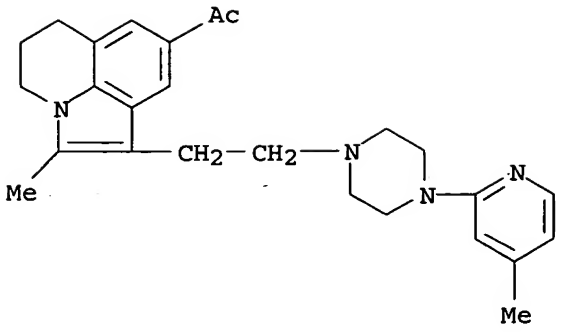
RN 148490-60-2 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline, 4-butyl-5,6-dihydro-8-methoxy-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



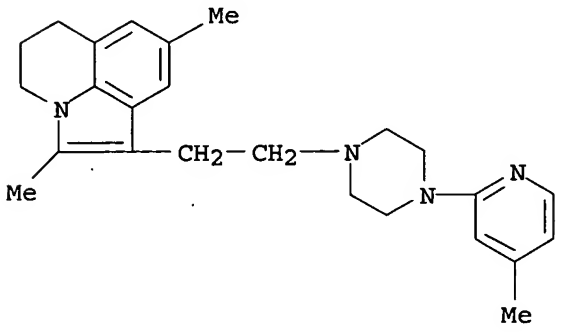
RN 148490-62-4 HCAPLUS
CN Methanone, [5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]-4H-pyrrolo[3,2,1-ij]quinolin-8-yl]phenyl- (9CI) (CA INDEX NAME)



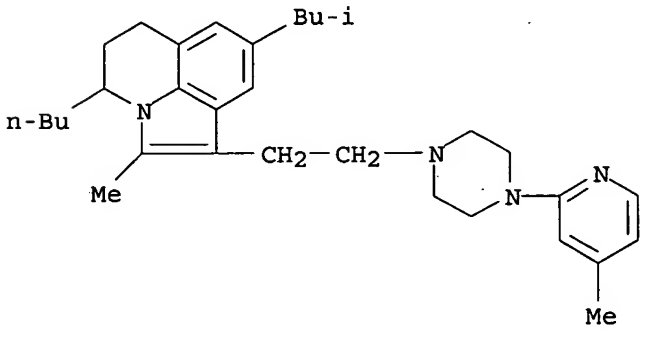
RN 148490-63-5 HCAPLUS
CN Ethanone, 1-[5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]-4H-pyrrolo[3,2,1-ij]quinolin-8-yl]- (9CI) (CA INDEX NAME)



RN 148490-66-8 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline, 5,6-dihydro-2,8-dimethyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

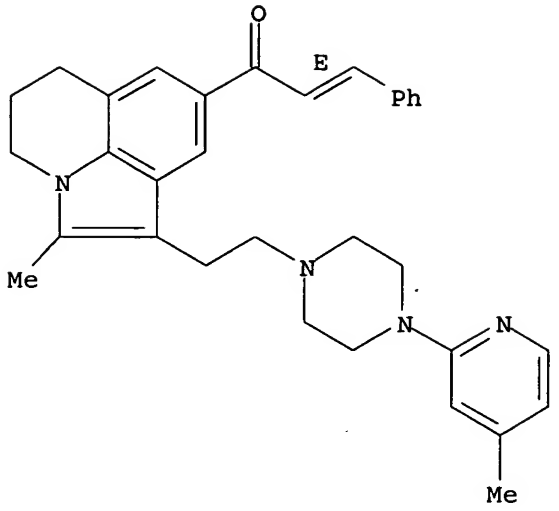


RN 161151-05-9 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline, 4-butyl-5,6-dihydro-2-methyl-8-(2-methylpropyl)-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

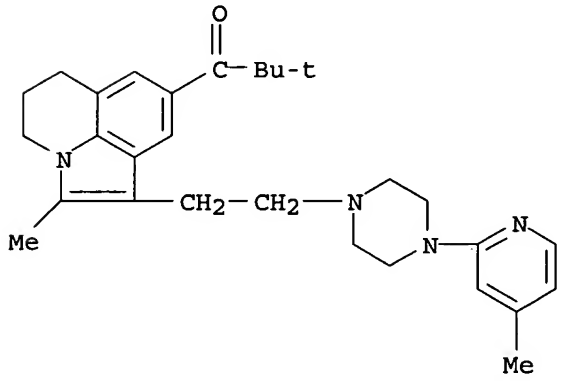


RN 161151-13-9 HCAPLUS
CN 2-Propen-1-one, 1-[5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]-4H-pyrrolo[3,2,1-ij]quinolin-8-yl]-3-phenyl-, (E)- (9CI) (CA INDEX NAME)

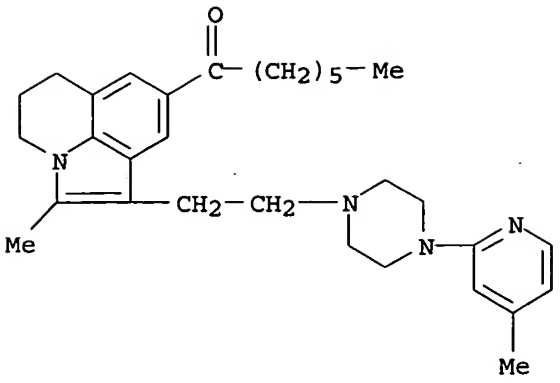
Double bond geometry as shown.



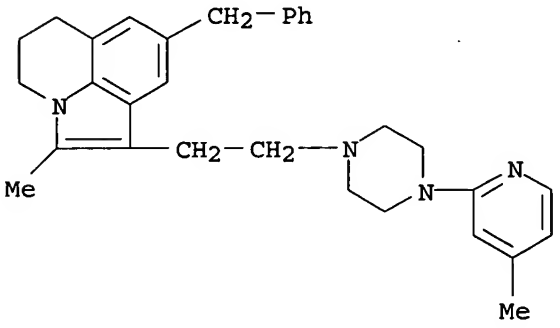
RN 161151-14-0 HCAPLUS
CN 1-Propanone, 1-[5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]-4H-pyrrolo[3,2,1-ij]quinolin-8-yl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



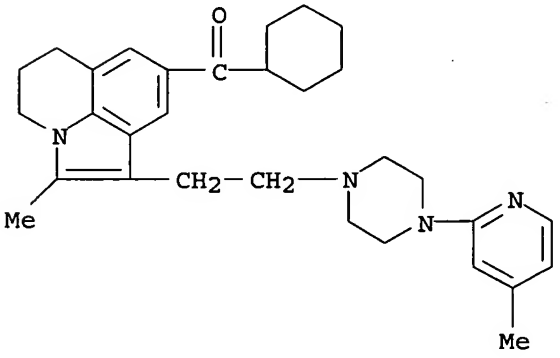
RN 161151-15-1 HCAPLUS
CN 1-Heptanone, 1-[5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]-4H-pyrrolo[3,2,1-ij]quinolin-8-yl]- (9CI) (CA INDEX NAME)



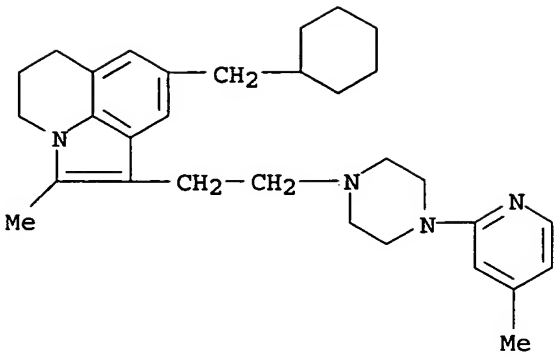
RN 161151-16-2 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline, 5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]-8-(phenylmethyl)- (9CI) (CA INDEX NAME)



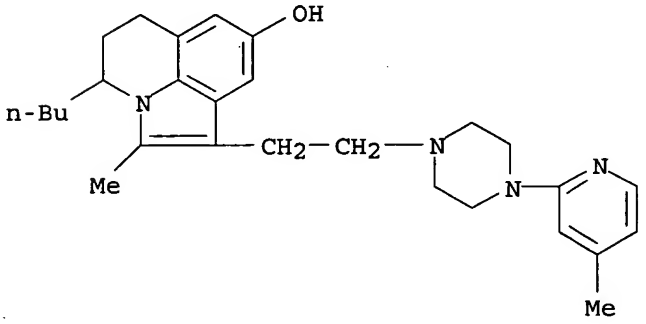
RN 161151-17-3 HCAPLUS
CN Methanone, cyclohexyl[5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]-4H-pyrrolo[3,2,1-ij]quinolin-8-yl]- (9CI) (CA INDEX NAME)



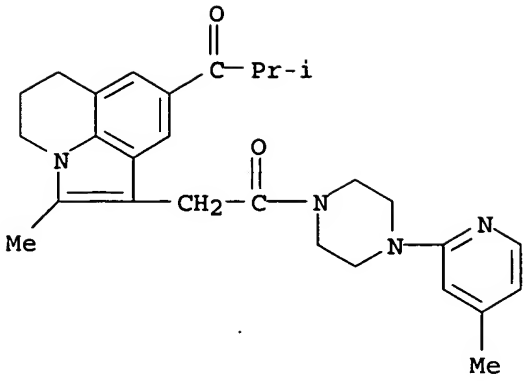
RN 161151-18-4 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline, 8-(cyclohexylmethyl)-5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



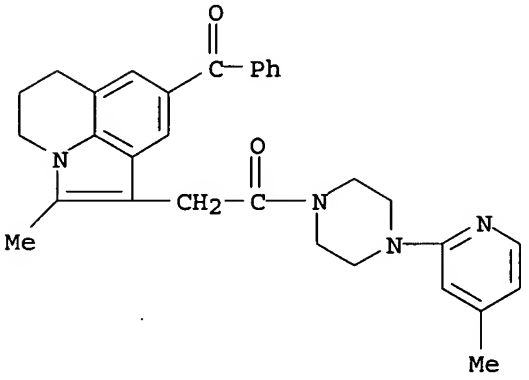
IT **148490-22-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis, structure-activity relationships, and pharmacol. evaluation of pyrroloquinolines for therapeutic application in asthma)
RN 148490-22-6 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinolin-8-ol, 4-butyl-5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



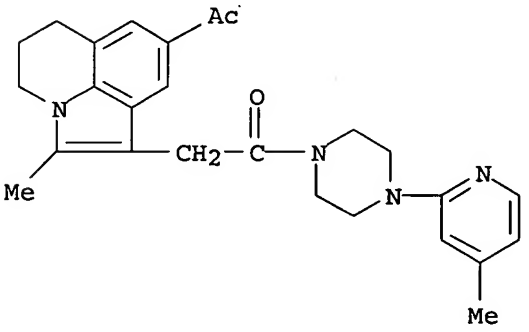
IT **161151-58-2P 161151-59-3P 161151-60-6P**
161151-61-7P 161151-62-8P 161151-63-9P
161151-64-0P 161151-65-1P 161151-66-2P
161151-67-3P 161151-68-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis, structure-activity relationships, and pharmacol. evaluation of pyrroloquinolines for therapeutic application in asthma)
RN 161151-58-2 HCAPLUS
CN Piperazine, 1-[[5,6-dihydro-2-methyl-8-(2-methyl-1-oxopropyl)-4H-pyrrolo[3,2,1-ij]quinolin-1-yl]acetyl]-4-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



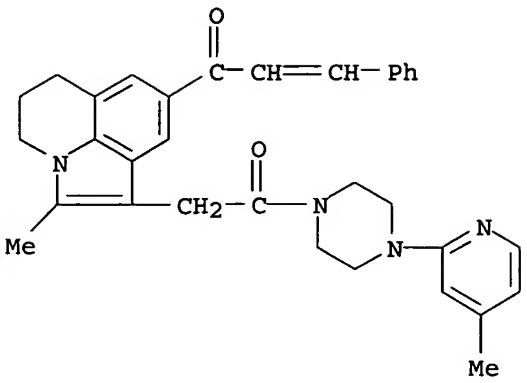
RN 161151-59-3 HCAPLUS
CN Piperazine, 1-[(8-benzoyl-5,6-dihydro-2-methyl-4H-pyrrolo[3,2,1-ij]quinolin-1-yl)acetyl]-4-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



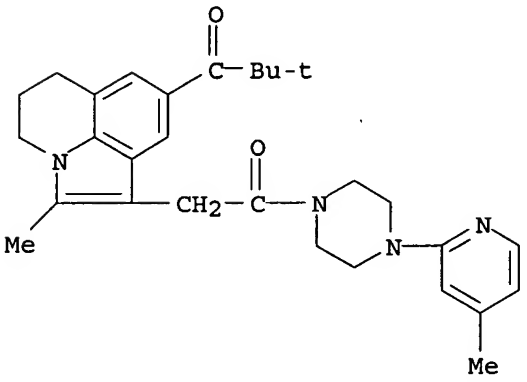
RN 161151-60-6 HCAPLUS
CN Piperazine, 1-[(8-acetyl-5,6-dihydro-2-methyl-4H-pyrrolo[3,2,1-ij]quinolin-1-yl)acetyl]-4-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



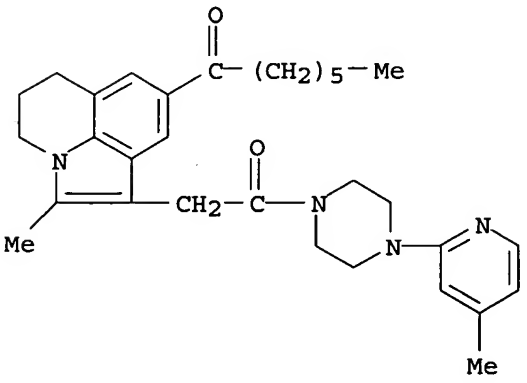
RN 161151-61-7 HCAPLUS
CN Piperazine, 1-[[5,6-dihydro-2-methyl-8-(1-oxo-3-phenyl-2-propenyl)-4H-pyrrolo[3,2,1-ij]quinolin-1-yl]acetyl]-4-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



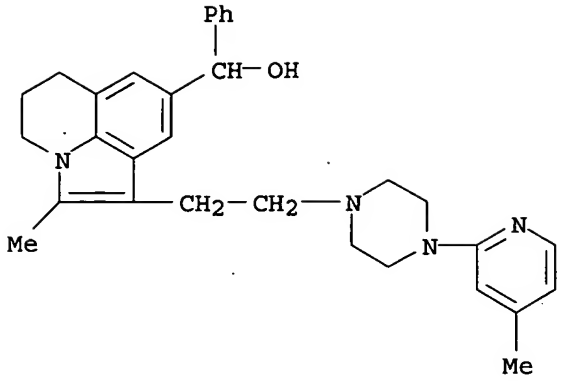
RN 161151-62-8 HCAPLUS
CN Piperazine, 1-[[8-(2,2-dimethyl-1-oxopropyl)-5,6-dihydro-2-methyl-4H-pyrrolo[3,2,1-ij]quinolin-1-yl]acetyl]-4-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



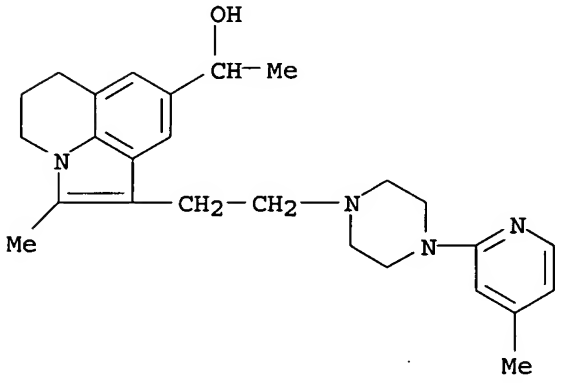
RN 161151-63-9 HCAPLUS
CN Piperazine, 1-[[5,6-dihydro-2-methyl-8-(1-oxoheptyl)-4H-pyrrolo[3,2,1-ij]quinolin-1-yl]acetyl]-4-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



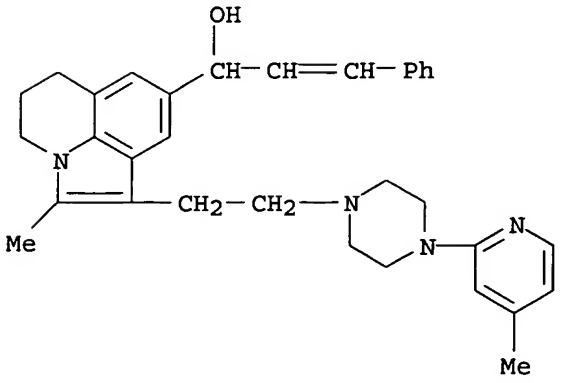
RN 161151-64-0 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline-8-methanol, 5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]-α-phenyl- (9CI) (CA INDEX NAME)



RN 161151-65-1 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline-8-methanol, 5,6-dihydro-α,2-dimethyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

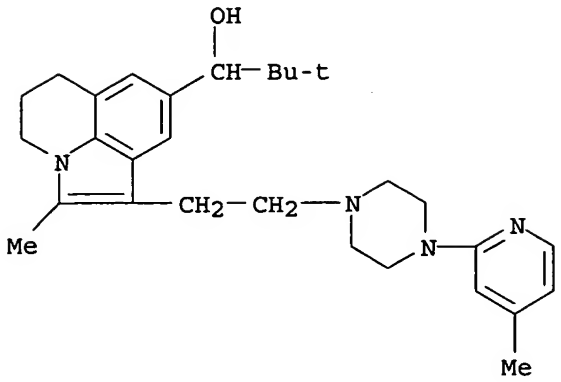


RN 161151-66-2 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline-8-methanol, 5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]-α-(2-phenylethenyl)- (9CI) (CA INDEX NAME)

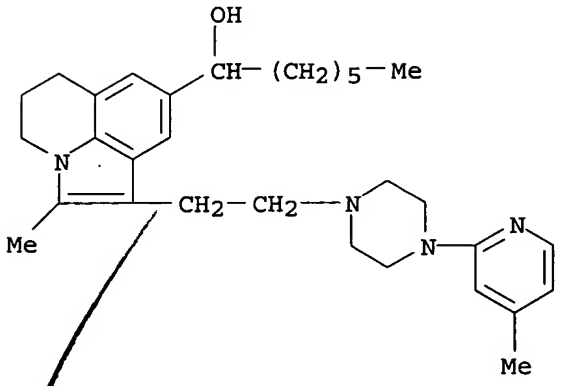


RN 161151-67-3 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline-8-methanol, α-(1,1-dimethylethyl)-5,6-

dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]-
(9CI) (CA INDEX NAME)



RN 161151-68-4 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline-8-methanol, α-hexyl-5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



L13 ANSWER 8 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:539255 HCAPLUS
DN 119:139255
ED Entered STN: 02 Oct 1993
TI Preparation of annellated α-(piperazinylakyl)indoles and related compounds as drugs
IN Jasserand, Daniel; Paris, Dominique; Demonchaux, Patrice; Cottin, Michel; Floc'h, Francois; Dupassieux, Pierre; White, Richard
PA Kali-Chemie Pharma GmbH, Germany
SO Ger. Offen., 52 pp.
CODEN: GWXXBX
DT Patent
LA German
IC ICM C07D471-06
ICS C07D487-06; C07D498-06; C07D513-06; A61K031-495; A61K031-44; A61K031-535; A61K031-54; A61K031-47
ICI C07D209-00, C07D227-00, C07D265-00, C07D279-00; A61K031-495, A61K031-44, A61K031-535, A61K031-54, A61K031-47
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

FAN.CNT 1

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PI	DE 4128015	A1	19930225	DE 1991-4128015	19910823	<--
	IL 102652	A1	19960723	IL 1992-102652	19920727	<--
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	EP 529452	B1	19981111			
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	HU 64762	A2	19940228	HU 1992-2659	19920817	<--
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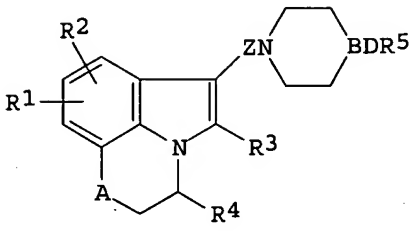
	CN 1069732	A	19930310	CN 1992-109697	19920822	<--
	JP 05208956	A2	19930820	JP 1992-223968	19920824	<--
	JP 3162495	B2	20010425			
PRAI	DE 1991-4128015	A	19910823	<--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
DE 4128015	ICM	C07D471-06
	ICS	C07D487-06; C07D498-06; C07D513-06; A61K031-495; A61K031-44; A61K031-535; A61K031-54; A61K031-47
	ICI	C07D209-00, C07D227-00, C07D265-00, C07D279-00; A61K031-495, A61K031-44, A61K031-535, A61K031-54, A61K031-47

OS MARPAT 119:139255

GI



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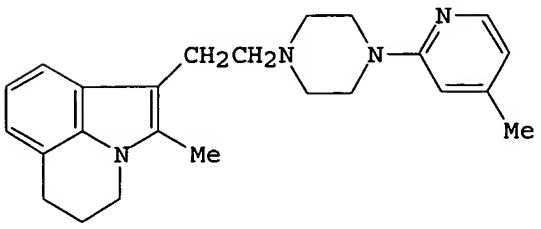


II

AB Title compds. [I; R1 = H, alkoxy, alkylthio, OH, halo, CF3, NO2, amino, (hydroxy)alkyl, (substituted) phenylalkyl, cycloalkyl, cycloalkylalkyl, alkenyl, alkanoyl, alkanoyloxy, alkanoylamino, (substituted) PhCO, PhCO2, PhCONH, cinnamoyl, cinnamoyloxy, cinnamoylamino; R2 = H, halo, alkyl,

alkoxy; R3, R4 = H, (hydroxy)alkyl, alkenyl, cycloalkyl, cycloalkylalkyl, (substituted) Ph, phenylalkyl, OH; R5 = (substituted) pyridyl, phenyl; A = O, S, bond, (alkyl-substituted) alkylene; Z = (alkyl- or HO-substituted) alkylene; B = N, CH; D = bond, CO; with provisos], were prepared Thus, 1-amino-1,2,3,4-tetrahydroquinoline (preparation given) was refluxed with Et 3-acetylpropionate in HOAC/HNHCl to give Et 5,6-dihydro-2-methyl-4H-pyrrolo(3,2,1-ij)quinoline-1-acetate, which was reduced with LiAlH4 to give the hydroxyethyl derivative This was treated with PBr3 in CHCl3 to give the bromoethyl derivative, which was heated with 1-(4-methylpyridin-2-yl)piperazine, KI, and Et3N in DMF at reflux to give title compound II. II at 10-5 M gave 97% inhibition of platelet activating factor-induced aggregation of rabbit blood platelets, and at 2 + 10-5 M orally in rats gave 98% inhibition of passive cutaneous anaphylaxis. Tablets were prepared containing II.

ST piperazinylalkylpyrroloquinoline prepn drug; PAF antagonist
piperazinylalkylpyrroloquinoline; antihistamine
piperazinylalkylpyrroloquinoline; antiasthmatic
piperazinylalkylpyrroloquinoline; antiinflammatory
piperazinylalkylpyrroloquinoline; pyrroloquinoline piperazinylalkyl prepn drug; indole piperazinylalkyl prepn drug

IT Allergy inhibitors
Inflammation inhibitors
(annellated (piperazinylalkyl)indoles)

IT Antihistaminics
(antagonists, annellated (piperazinylalkyl)indoles)

IT Bronchodilators
(antiasthmatics, antagonists, annellated (piperazinylalkyl)indoles)

IT 65154-06-5, Platelet activating factor
RL: RCT (Reactant); RACT (Reactant or reagent)
(antagonists, annellated (piperazinylalkyl)indoles)

IT 148490-36-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of piperazinoalkylpyrroloquinoline
derivative allergy inhibitor and antiinflammatory)

IT 148468-52-4P 148468-53-5P 148468-54-6P 148468-55-7P
148468-56-8P 148468-57-9P 148468-58-0P 148468-59-1P
148468-60-4P 148468-61-5P 148468-62-6P **148468-63-7P**
148468-64-8P 148468-65-9P 148468-66-0P
148468-67-1P 148468-68-2P 148468-69-3P
148468-70-6P 148468-71-7P 148468-72-8P 148468-73-9P 148468-74-0P
148468-75-1P **148468-76-2P** 148468-77-3P 148468-78-4P
148468-81-9P 148468-82-0P 148468-83-1P 148468-84-2P 148468-86-4P
148468-90-0P 148468-93-3P 148489-92-3P 148489-93-4P 148489-94-5P
148489-95-6P **148489-96-7P 148489-97-8P** 148489-98-9P
148489-99-0P **148490-00-0P** 148490-01-1P 148490-02-2P
148490-03-3P 148490-04-4P 148490-05-5P 148490-06-6P 148490-07-7P
148490-08-8P 148490-09-9P **148490-10-2P** 148490-11-3P
148490-12-4P 148490-13-5P 148490-17-9P
148490-18-0P 148490-19-1P 148490-20-4P 148490-21-5P
148490-22-6P 148490-23-7P 148490-24-8P 148490-25-9P
148490-26-0P 148490-27-1P 148490-28-2P 148490-29-3P 148490-30-6P
148490-31-7P 148490-32-8P 148490-33-9P 148490-34-0P 148490-35-1P
148490-53-3P 148490-54-4P 148490-58-8P 148490-59-9P 148490-64-6P
148490-65-7P 148490-67-9P 148490-68-0P 149162-07-2P 149162-08-3P
149162-15-2P 149162-16-3P 149162-17-4P 149162-18-5P 149162-19-6P
149185-37-5P 149185-38-6P 149185-39-7P 149250-78-2P 149252-18-6P
149252-19-7P 149252-20-0P 149252-21-1P 149252-22-2P 149252-23-3P
149542-49-4P 149542-50-7P **149542-51-8P** 149542-53-0P
149542-54-1P 149902-01-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as allergy inhibitor and antiinflammatory)

IT 83260-97-3P 148490-45-3P 148490-46-4P 148490-47-5P 148490-48-6P
148490-49-7P 148490-50-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate (piperazinylalkyl)pyrroloquinoline allergy inhibitor and antiinflammatory)
IT 5825-44-5P 5825-45-6P, 1-Amino-1,2,3,4-tetrahydroquinoline
108248-90-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for (piperazinoalkyl)pyrroloquinoline allergy and antiinflammatory)
IT 148490-37-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for (piperazinoalkyl)pyrroloquinoline allergy inhibitor and antiinflammatory)
IT 24005-23-0P, 1,2,3,4-Tetrahydro-2-phenylquinoline 40624-66-6P,
1,2-Dihydro-2-phenylquinoline 105078-29-3P 148490-38-4P 148490-39-5P
148490-40-8P 148490-41-9P 148490-42-0P 148490-43-1P 148490-44-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for (piperazinylalkyl)pyrroloquinoline allergy inhibitor and antiinflammatory)
IT 149542-65-4P 149542-70-1P 149542-76-7P 149542-82-5P 149542-84-7P
149542-86-9P 149542-87-0P 149542-88-1P 149542-93-8P 149573-40-0P
149573-41-1P 149902-02-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for allergy inhibitor and antiinflammatory)
IT 54282-74-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for piperazinoalkylpyrroloquinoline allergy inhibitor and antiinflammatory)
IT 5965-53-7P 149542-71-2P 149542-72-3P 149542-73-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for piperazinylakylpyrroloquinoline allergy inhibitor and antiinflammatory)
IT 3080-99-7P 39093-62-4P 51511-34-3P 149542-63-2P 149542-64-3P
149573-39-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for piperazinylalkylpyrrolobenzothiazine allergy inhibitor and antiinflammatory)
IT 120-15-0P, 1,2,3,4-Tetrahydro-6-methoxyquinoline 4491-33-2P, Ethyl
quinoline-2-carboxylate 4620-34-2P, Ethyl 1,2,3,4-tetrahydroquinoline-2-carboxylate **148490-16-8P** 148490-51-1P 148490-52-2P
149542-55-2P 149542-57-4P 149542-58-5P 149542-59-6P 149542-60-9P
149542-61-0P 149542-62-1P 149542-66-5P 149542-67-6P 149542-68-7P
149542-69-8P 149542-74-5P 149542-75-6P 149542-77-8P 149542-78-9P
149542-79-0P 149542-80-3P 149542-81-4P 149542-83-6P 149542-85-8P
149542-89-2P 149542-90-5P 149542-91-6P 149542-92-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for piperazinylalkylpyrroloquinoline allergy inhibitor and antiinflammatory)
IT **148490-14-6P** 148490-15-7P 149542-56-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for piperazinylalkylpyrroloquinoline allery inhibitor and antiinflammatory)
IT 4926-28-7P, 2-Bromo-4-methylpyridine
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for piperazinylalkylpyrroloquinoline derivative
allergy inhibitor and antiinflammatory)
IT 59084-16-1P, 1-Acetylpiperidine-4-carbonyl chloride
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for piperidinylalkylpyrroloquinoline allergy inhibitor and antiinflammatory)
IT 539-88-8, Ethyl 3-acetylpropionate 635-46-1, 1,2,3,4-Tetrahydroquinoline

34803-67-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of of piperazinylalkylpyrroloquinoline allergy inhibitor and antiinflammatory)

IT 498-94-2, Piperidine-4-carboxylic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of piperadinylalkylpyrroloquinoline allergy inhibitor and antiinflammatory)

IT 79-30-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of piperazinoalkylpyrroloquinoline derivative allergy inhibitor and antiinflammatory)

IT 96220-47-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of piperazinylalkylpyrrolobenzothiazine allergy inhibitor and antiinflammatory)

IT 2969-81-5, Ethyl-4-bromobutyrate
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of piperazinylalkylpyrroloquinole allergy inhibitor and antiinflammatory)

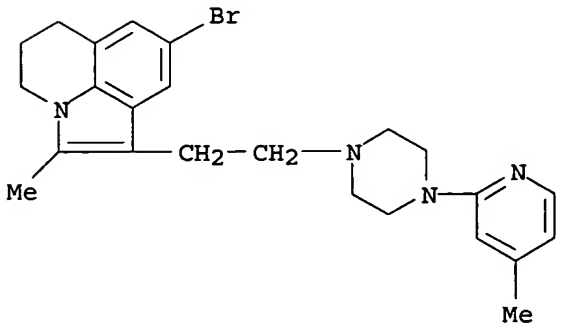
IT 91-22-5, Quinoline, reactions 91-63-4, 2-Methylquinoline 93-10-7, Quinoline-2-carboxylic acid 98-88-4, Benzoyl chloride 328-50-7, 2-Ketoglutaric acid 431-03-8, Butane-2,3-dione 591-51-5, Phenyllithium 3153-44-4, 3-(4-Methoxybenzoyl)propionic acid 5263-87-6, 6-Methoxyquinoline 13889-98-0, 1-Acetylpiperazine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of piperazinylalkylpyrroloquinoline allergy inhibitor and antiinflammatory)

IT 462-06-6, Fluorobenzene
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of piperidinylalkylpyrroloquinoline derivative allergy inhibitor and antiinflammatory)

IT **148468-56-8P 148468-63-7P 148468-64-8P**
148468-65-9P 148468-67-1P 148468-68-2P
148468-69-3P 148468-76-2P 148489-96-7P
148489-97-8P 148490-00-0P 148490-10-2P
148490-12-4P 148490-13-5P 148490-17-9P
148490-22-6P 149542-51-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as allergy inhibitor and antiinflammatory)

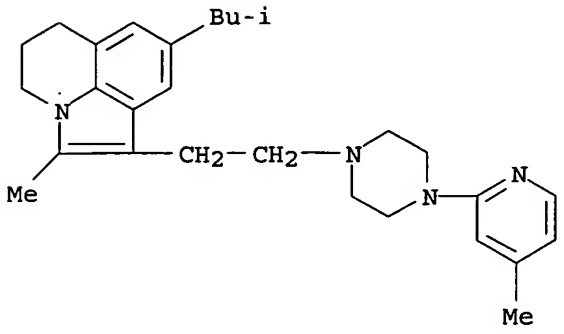
RN 148468-56-8 HCAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinoline, 8-bromo-5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]-, trihydrochloride (9CI) (CA INDEX NAME)



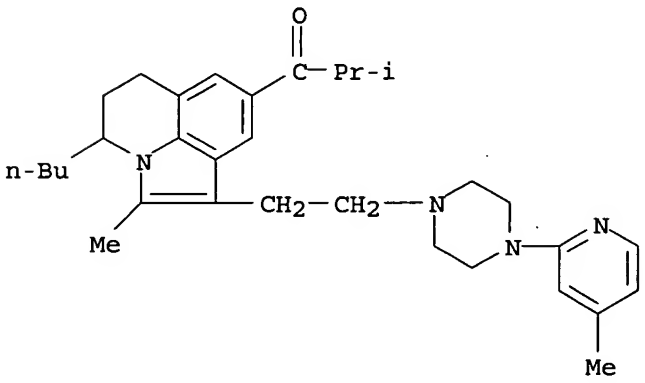
●3 HCl

RN 148468-63-7 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline, 5,6-dihydro-2-methyl-8-(2-methylpropyl)-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



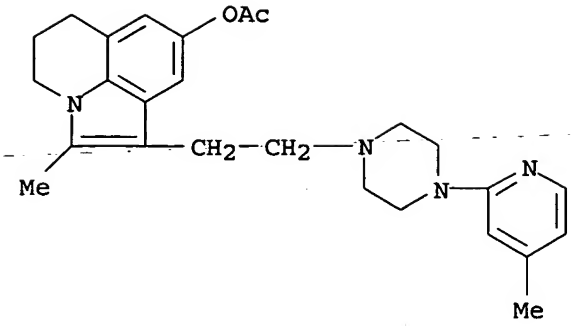
●2 HCl

RN 148468-64-8 HCAPLUS
CN 1-Propanone, 1-[4-butyl-5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]-4H-pyrrolo[3,2,1-ij]quinolin-8-yl]-2-methyl-, hydrochloride (10:19) (9CI) (CA INDEX NAME)



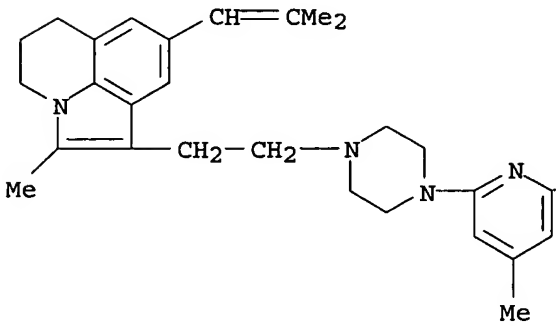
●19/10 HCl

RN 148468-65-9 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinolin-8-ol, 5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]-, acetate (ester), dihydrochloride (9CI) (CA INDEX NAME)



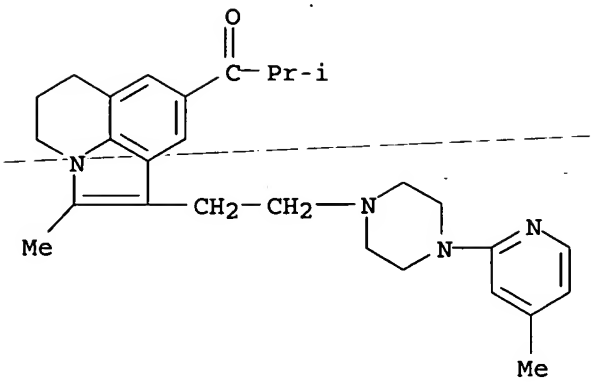
●2 HCl

RN 148468-67-1 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline, 5,6-dihydro-2-methyl-8-(2-methyl-1-propenyl)-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]-, hydrochloride (10:19) (9CI) (CA INDEX NAME)



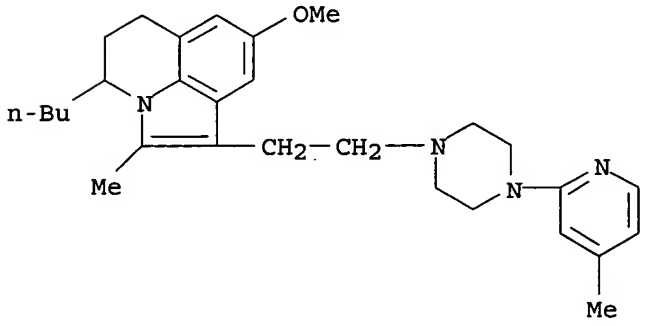
●19/10 HCl

RN 148468-68-2 HCAPLUS
CN 1-Propanone, 1-[5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]-4H-pyrrolo[3,2,1-ij]quinolin-8-yl]-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



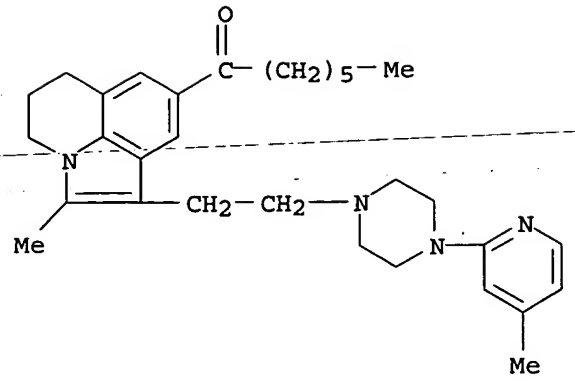
●2 HCl

RN 148468-69-3 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline, 4-butyl-5,6-dihydro-8-methoxy-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



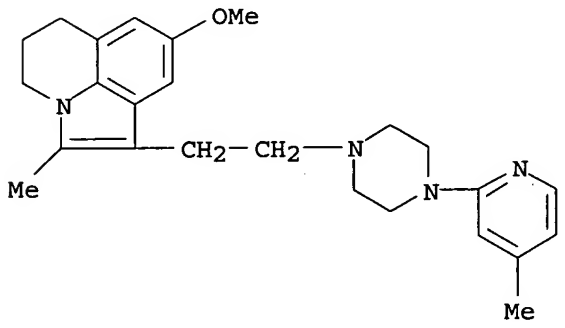
●2 HCl

RN 148468-76-2 HCAPLUS
CN 1-Heptanone, 1-[5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]-4H-pyrrolo[3,2,1-ij]quinolin-8-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

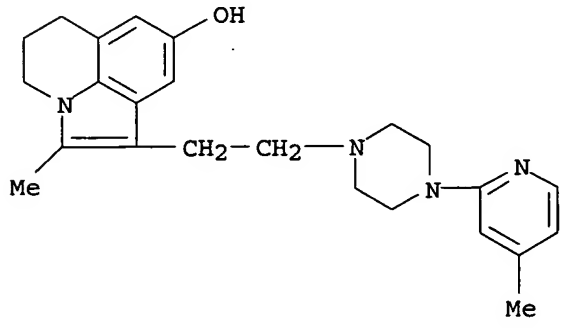


● HCl

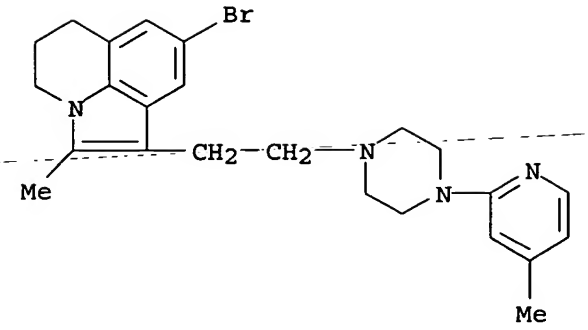
RN 148489-96-7 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline, 5,6-dihydro-8-methoxy-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



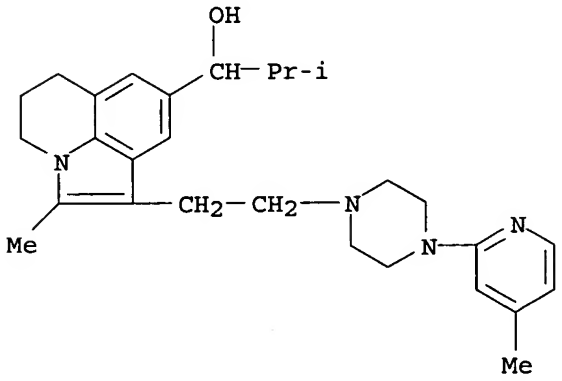
RN 148489-97-8 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinolin-8-ol, 5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



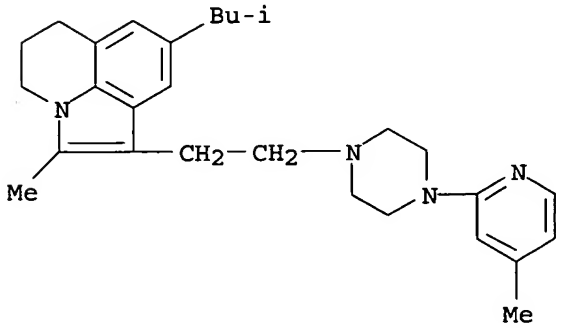
RN 148490-00-0 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline, 8-bromo-5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



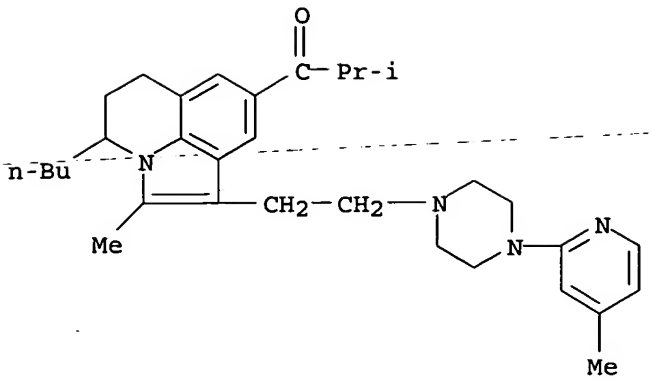
RN 148490-10-2 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline-8-methanol, 5,6-dihydro-2-methyl-α-(1-methylethyl)-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



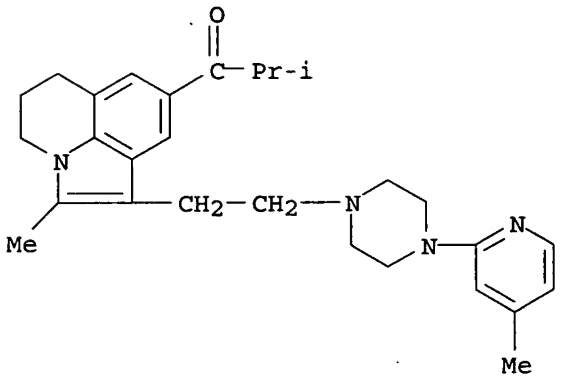
RN 148490-12-4 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline, 5,6-dihydro-2-methyl-8-(2-methylpropyl)-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



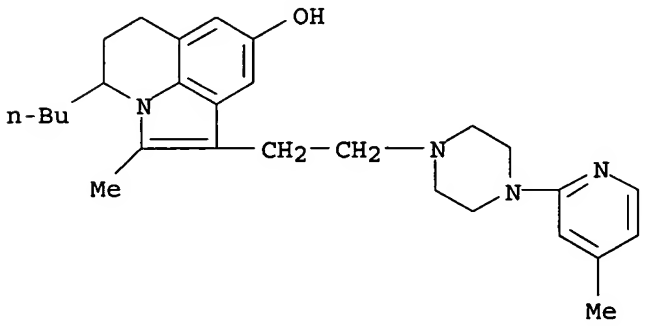
RN 148490-13-5 HCAPLUS
CN 1-Propanone, 1-[4-butyl-5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]-4H-pyrrolo[3,2,1-ij]quinolin-8-yl]-2-methyl- (9CI) (CA INDEX NAME)



RN 148490-17-9 HCAPLUS
CN 1-Propanone, 1-[5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]-4H-pyrrolo[3,2,1-ij]quinolin-8-yl]-2-methyl- (9CI) (CA INDEX NAME)



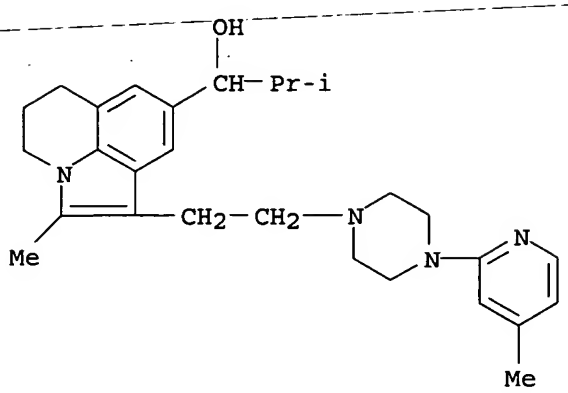
RN 148490-22-6 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinolin-8-ol, 4-butyl-5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 149542-51-8 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline-8-methanol, 5,6-dihydro-2-methyl-α-(1-methylethyl)-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]-, (2E)-2-butenedioate (5:6) (salt) (9CI) (CA INDEX NAME)

CM 1

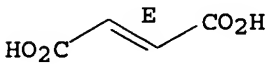
CRN 148490-10-2
CMF C28 H38 N4 O



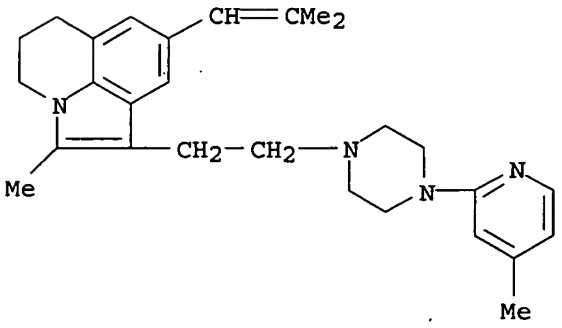
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

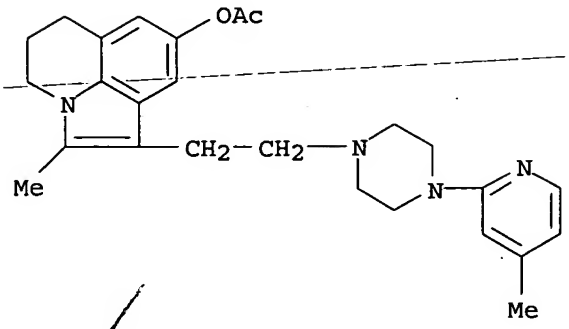


IT **148490-16-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for piperazinylalkylpyrroloquinoline allergy inhibitor and antiinflammatory)
RN 148490-16-8 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline, 5,6-dihydro-2-methyl-8-(2-methyl-1-propenyl)-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



IT **148490-14-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for piperazinylalkylpyrroloquinoline allery inhibitor and antiinflammatory)
RN 148490-14-6 HCAPLUS

CN 4H-Pyrrolo[3,2,1-ij]quinolin-8-ol, 5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]-, acetate (ester) (9CI) (CA INDEX NAME)



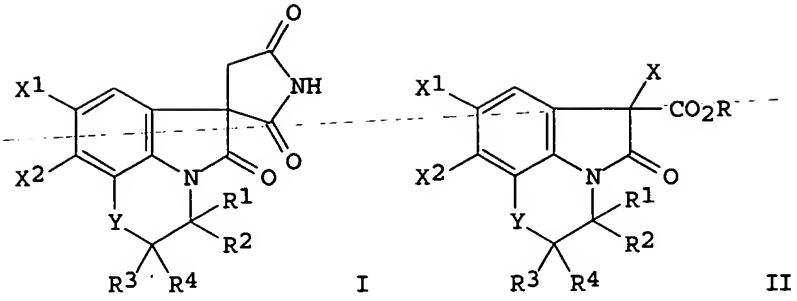
L13 ANSWER 9 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1988:493031 HCAPLUS
DN 109:93031
ED Entered STN: 17 Sep 1988
TI Improved preparation of spiropyrrolidinepyrrolobenzoxazinetriones useful as aldose reductase inhibitors
IN Masuzawa, Kuniyoshi; Okamura, Kyuya; Fujimori, Shizuyoshi; Kinoshita, Susumu; Matsukubo, Hiroshi
PA Kyorin Pharmaceutical Co., Ltd., Japan
SO Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DT Patent
LA English
IC ICM C07D498-20
ICS C07D471-20; C07D513-20; A61K031-535; A61K031-435; A61K031-54
ICI C07D498-20, C07D265-00, C07D209-00
CC 28-13 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 254149	A2	19880127	EP 1987-109949	19870709 <--
	EP 254149	A3	19890830		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
	JP 63017885	A2	19880125	JP 1986-161789	19860711 <--
	AU 8775349	A1	19880114	AU 1987-75349	19870708 <--
	AU 596851	B2	19900517		
	CA 1261326	A1	19890926	CA 1987-541568	19870708 <--
	DK 8703588	A	19880112	DK 1987-3588	19870710 <--
	US 4749789	A	19880607	US 1987-72004	19870710 <--
	HU 46325	A2	19881028	HU 1987-3170	19870710 <--
	HU 197012	B	19890228		
PRAI	JP 1986-161789		19860711 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
EP 254149	ICM	C07D498-20
	ICS	C07D471-20; C07D513-20; A61K031-535; A61K031-435; A61K031-54
	ICI	C07D498-20, C07D265-00, C07D209-00

OS CASREACT 109:93031; MARPAT 109:93031
GI



I II

AB The title compds. (I; R1-R4 = H, alkyl; 2 of R1-R4 = atoms to complete benzene rings; X1, X2 = H, halo, alkyl, alkoxy; Y = CH2, O, S), known aldose reductase inhibitors, were prepared by improved methods from heterocycloindolones II (R = alkyl, X = CH2CN, CH2CONH2). 1-Chloro-3,4-dihydro-2H-1,4-benzoxazine in HOAc was refluxed with di-Et ketomalonate to give Et 8-chloro-2,3-dihydro-6-hydroxy-5-oxopyrrolo[1,2,3-d,e]-1,4-benzoxazine-6-carboxylate, which was converted to Et 6-carbamoylmethyl-8-chloro-2,3-dihydro-5-oxopyrrolo[1,2,3-d,e]-1,4-benzoxazine-6-carboxylate (III) in 5 steps. III in EtOH was treated with 0.5 m aqueous NaOH to give 8'-chloro-2',3'-dihydrospiro[pyrrolidine-3,6'(5'H)-pyrrolo[1,2,3-d,e][1,4]benzoxazine]-2,5,5'-trione.

ST spiropyrrolidinepyrrolobenzoxazinetrione prepn aldose reductase inhibitor; benzoxazinetrione spiropyrrolidinepyrrolo prepn aldose reductase inhibitor

IT Ring closure and formation
(of carbamoylmethylpyrrole carboxylate derivs., spiropyrrolidinepyrroletriones derivs. by)

IT 107-14-2, Chloroacetonitrile 590-17-0, Bromoacetonitrile
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation by, of oxopyrrolobenzoxazine carboxylate)

IT 609-09-6, Diethyl ketomalonate
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation of, with benzoxazine derivative)

IT 113770-21-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation of, with ketomalonate)

IT 9028-31-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(inhibitors of, spiropyrrolidinepyrrolobenzoxazinetriones as)

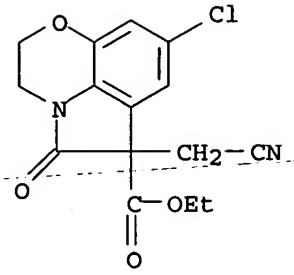
IT 99434-90-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as aldose reductase inhibitor)

IT 99434-90-9P 113770-16-4P 113770-17-5P 113770-18-6P
113770-19-7P 113770-20-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as aldose reductase inhibitor intermediate)

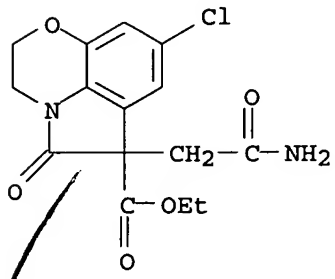
IT **113770-19-7P 113770-20-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as aldose reductase inhibitor intermediate)

RN 113770-19-7 HCAPLUS

CN Pyrrolo[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid, 8-chloro-6-(cyanomethyl)-2,3,5,6-tetrahydro-5-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 113770-20-0 HCAPLUS
CN Pyrrolo[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid, 6-(2-amino-2-oxoethyl)-8-chloro-2,3,5,6-tetrahydro-5-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L13 ANSWER 10 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1974:520418 HCAPLUS
DN 81:120418
ED Entered STN: 12 May 1984
TI 5,6-Dihydro-4H-pyrrolo[3,2,1-i,j]quinolines
AU Steck, Edgar A.; Fletcher, Lynn T.; Carabateas, Clarissa D.
CS Sterling-Winthrop Res. Inst., Rensselaer, NY, USA
SO Journal of Heterocyclic Chemistry (**1974**), 11(3), 387-93
CODEN: JHTCAD; ISSN: 0022-152X
DT Journal
LA English
CC 27-18 (Heterocyclic Compounds (One Hetero Atom))
GI For diagram(s), see printed CA Issue.
AB The title compds. (I, R = H, Ph, CO2Et, C6H4OMe-p, CH2CH2NH2; R2 = CO2Me, CO2CH2 CH2NMe2, CONH2, CONEt2, CONCH2CH2NEt2, CSNHCH2CH2NEt2, CSNHCMeCH2OH, Ph, C6H4OMe-p, C6H4OH-p, Me; R2 = H, Cl) were prepared by a Fischer indole synthesis of the hydrazone II, prepared from 1-amino-1,2,3,4-tetrahydroquinoline or its 8-chloro derivative and RCH2COR1.
ST Fischer indole synthesis pyrroloquinoline; quinoline amino ketone reaction; ketone aminoquinoline reaction
IT Fischer indole synthesis
(with 1-amino-1,2,3,4-tetrahydroquinoline hydrazones)
IT 5825-45-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(Fischer indole synthesis on hydrazones from)
IT 18326-86-8P 51282-96-3P 54282-56-3P 54282-57-4P 54282-58-5P
54282-59-6P 54282-60-9P 54282-61-0P 54282-62-1P 54282-63-2P
54282-64-3P 54282-65-4P 54282-66-5P 54282-67-6P 54282-69-8P
54282-70-1P 54282-71-2P 54282-73-4P 54282-74-5P 54282-75-6P
54282-76-7P 54282-77-8P 54282-78-9P 54282-79-0P 54282-80-3P
54282-81-4P 54282-82-5P **54282-83-6P 54282-84-7P**

54282-85-8P 54282-86-9P 54282-87-0P
54282-88-1P 54282-89-2P 54282-90-5P 54282-92-7P
54282-93-8P 54282-94-9P 54282-95-0P 54282-96-1P 54282-97-2P
54282-98-3P 54282-99-4P 54283-00-0P 54283-01-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

IT 105-14-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with aminochlorotetrahydroquinoline)

IT 123-76-2 141-97-9 328-50-7 3197-25-9 6346-09-4 28030-16-2
54282-91-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with aminotetrahydroquinoline)

IT 28216-35-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with pyrroloquinoline derivative)

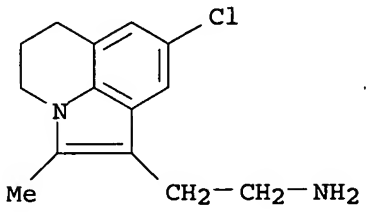
IT 54282-68-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with pyruvic acid)

IT 5891-21-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with thiourea and aminotetrahydroquinoline)

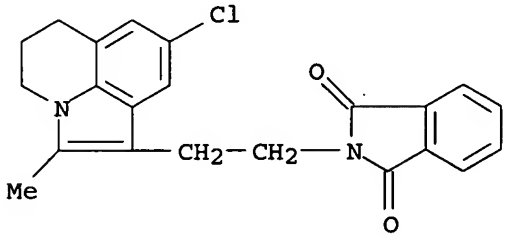
IT 127-17-3, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(with aminochlorotetrahydroquinoline)

IT **54282-83-6P 54282-84-7P 54282-85-8P**
54282-86-9P 54282-87-0P 54282-88-1P
54282-89-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

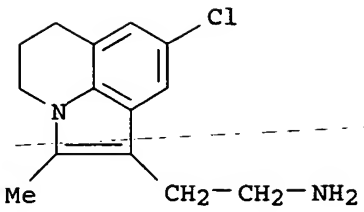
RN 54282-83-6 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline-1-ethanamine, 8-chloro-5,6-dihydro-2-methyl- (9CI) (CA INDEX NAME)



RN 54282-84-7 HCAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-(8-chloro-5,6-dihydro-2-methyl-4H-pyrrolo[3,2,1-ij]quinolin-1-yl)ethyl]- (9CI) (CA INDEX NAME)



RN 54282-85-8 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline-1-ethanamine, 8-chloro-5,6-dihydro-2-methyl-, hydrochloride (9CI) (CA INDEX NAME)

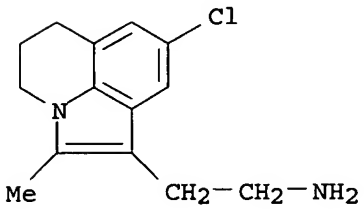


●x HCl

RN 54282-86-9 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline-1-ethanamine, 8-chloro-5,6-dihydro-2-methyl-, 2-hydroxy-1,2,3-propanetricarboxylate (2:1) (9CI) (CA INDEX NAME)

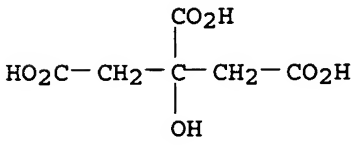
CM 1

CRN 54282-83-6
CMF C14 H17 Cl N2

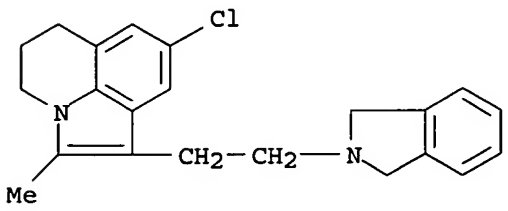


CM 2

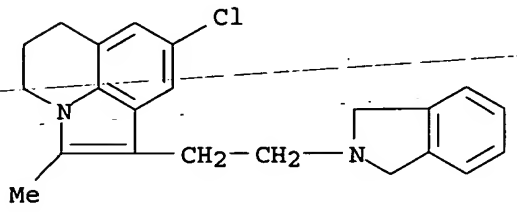
CRN 77-92-9
CMF C6 H8 O7



RN 54282-87-0 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline, 8-chloro-1-[2-(1,3-dihydro-2H-isoindol-2-yl)ethyl]-5,6-dihydro-2-methyl- (9CI) (CA INDEX NAME)

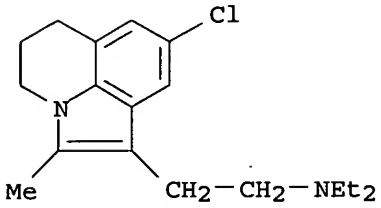


RN 54282-88-1 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline, 8-chloro-1-[2-(1,3-dihydro-2H-isoindol-2-yl)ethyl]-5,6-dihydro-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 54282-89-2 HCAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline-1-ethanamine, 8-chloro-N,N-diethyl-5,6-dihydro-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

=> fil uspatall
FILE 'USPATFULL' ENTERED AT 06:36:01 ON 26 OCT 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

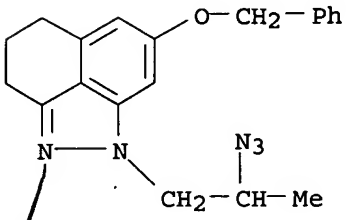
FILE 'USPAT2' ENTERED AT 06:36:01 ON 26 OCT 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

=> => d l15 bib abs hitstr

L15 ANSWER 1 OF 1 USPATFULL on STN
AN 2004:139421 USPATFULL
TI Novel fused indazoles and indoles and their use for the treatment of glaucoma
IN **May, Jesse A.**, Fort Worth, TX, UNITED STATES
Dantanarayana, Anura P., Fort Worth, TX, UNITED STATES
PI US 2004106597 A1 20040603
AI US 2003-721204 A1 20031125 (10)
RLI Continuation of Ser. No. WO 2002-US17114, filed on 30 May 2002, PENDING
PRAI US 2001-295428P 20010601 (60)
DT Utility
FS APPLICATION
LREP KILYK & BOWERSOX, P.L.L.C., 53 A EAST LEE STREET, WARRENTON, VA, 20186
CLMN Number of Claims: 19
ECL Exemplary Claim: 1

DRWN No Drawings
LN.CNT 924
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel fused indazoles and indoles are disclosed. Also disclosed are methods for the lowering and controlling of normal or elevated intraocular pressure as well as a method for the treatment of glaucoma using compositions containing one or more of the compounds of the present invention.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **477965-81-4P**, 1-(2-Azidopropyl)-7-benzyloxy-1,3,4,5-tetrahydrobenzo[cd]indazole
(intermediate; preparation of novel fused indazoles and indoles with 5-HT2 receptor activity for use in the treatment of glaucoma)
RN 477965-81-4 USPATFULL
CN Benz[cd]indazole, 1-(2-azidopropyl)-1,3,4,5-tetrahydro-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)



=> d l16 bib abs hitrn fhitstr tot

L16 ANSWER 1 OF 10 USPATFULL on STN
AN 2004:133936 USPATFULL
TI Method of preventing or treating atherosclerosis or restenosis
IN Wathen, Michael W., Thousand Oaks, CA, UNITED STATES
Wathen, Lynne K., Thousand Oaks, CA, UNITED STATES
PI US 2004102473 A1 20040527
AI US 2003-651216 A1 20030828 (10)
PRAI US 2002-407090P 20020830 (60)
DT Utility
FS APPLICATION
LREP FLYNN, THIEL, BOUTELL & TANIS, P.C., 2026 RAMBLING ROAD, KALAMAZOO, MI, 49008-1699
CLMN Number of Claims: 27
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2636
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides a method of treating atherosclerosis or restenosis in a mammal which comprises administering to said mammal an effective amount of a compound selected from the group consisting of structures of Formulae I, I' and II, ##STR1##

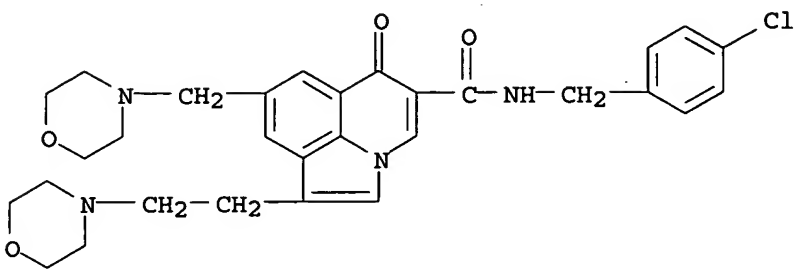
wherein the substituents on the Formulae are as defined herein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **388122-12-1 388122-13-2 388122-14-3 388122-15-4 388122-16-5**
(heterocyclic carboxamide compds. for preventing or treating atherosclerosis or restenosis)
IT **388122-12-1**

(heterocyclic carboxamide compds. for preventing or treating atherosclerosis or restenosis)

RN 388122-12-1 USPATFULL

CN 6H-Pyrrolo[3,2,1-ij]quinoline-5-carboxamide, N-[(4-chlorophenyl)methyl]-1-[2-(4-morpholinyl)ethyl]-8-(4-morpholinylmethyl)-6-oxo- (9CI) (CA INDEX NAME)



L16 ANSWER 2 OF 10 USPATFULL on STN

AN 2003:325044 USPATFULL

TI Agents and methods for the treatment of proliferative diseases

IN Al-Awar, Rima Salim, Raleigh, NC, UNITED STATES
Hecker, Kyle Andrew, Indianapolis, IN, UNITED STATES
Ray, James Edward, Indianapolis, IN, UNITED STATES
Huang, Jianping, Carmel, IN, UNITED STATES
Joseph, Sajan, Indianapolis, IN, UNITED STATES
Li, Tiechao, Fishers, IN, UNITED STATES
Paal, Michael, Hamburg, GERMANY, FEDERAL REPUBLIC OF
Rathnachalam, Radhakrishnan, Carmel, IN, UNITED STATES
Shih, Chuan, Carmel, IN, UNITED STATES
Waid, Philip Parker, Indianapolis, IN, UNITED STATES
Zhou, Xun, Carmel, IN, UNITED STATES
Zhu, Guoxin, Noblesville, IN, UNITED STATES

PI US 2003229026 A1 20031211

AI US 2002-130493 A1 20021202 (10)
WO 2000-US33273 20001218

DT Utility

FS APPLICATION

LREP ELI LILLY AND COMPANY, PATENT DIVISION, P.O. BOX 6288, INDIANAPOLIS, IN, 46206-6288

CLMN Number of Claims: 10

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 5779

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides selective kinase inhibitors of formula (I). ##STR1##

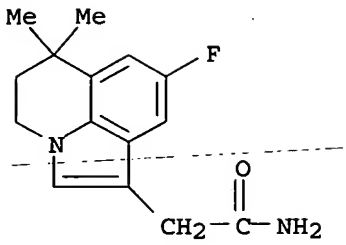
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **345264-47-3P**
(preparation of 11H,12H,14H-pyrrolo[3,4-c]quinolino[8',8a',1':3,2,1]-pyrrolo[2,3-a]carbazole-5,7-diones for the treatment of proliferative diseases)

IT **345264-47-3P**
(preparation of 11H,12H,14H-pyrrolo[3,4-c]quinolino[8',8a',1':3,2,1]-pyrrolo[2,3-a]carbazole-5,7-diones for the treatment of proliferative diseases)

RN 345264-47-3 USPATFULL

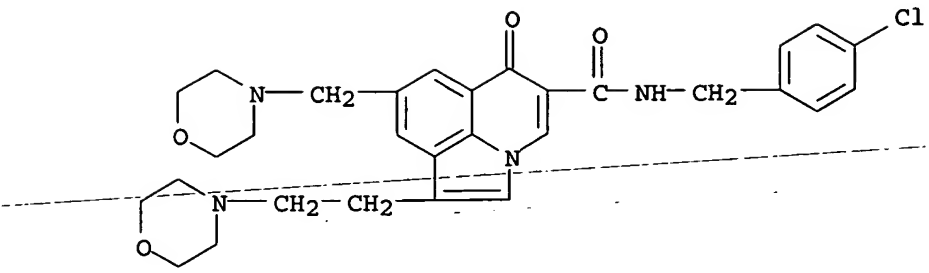
CN 4H-Pyrrolo[3,2,1-ij]quinoline-1-acetamide, 8-fluoro-5,6-dihydro-6,6-dimethyl- (9CI) (CA INDEX NAME)



L16 ANSWER 3 OF 10 USPATFULL on STN
AN 2003:220270 USPATFULL
TI Pyrroloquinolones as antiviral agents
IN Vaillancourt, Valerie A., Kalamazoo, MI, UNITED STATES
Staley, Sandra, Kalamazoo, MI, UNITED STATES
Huang, Audris, Irvine, CA, UNITED STATES
Nugent, Richard Allen, Galesburg, MI, UNITED STATES
Chen, Ke, Kalamazoo, MI, UNITED STATES
Nair, Sajiv K., Portage, MI, UNITED STATES
Nieman, James A., Galesburg, MI, UNITED STATES
Strohbach, Joseph Walter, Mendon, MI, UNITED STATES
PI US 2003153561 A1 20030814
US 6683181 B2 20040127
AI US 2002-288117 A1 20021105 (10)
RLI Division of Ser. No. US 2001-888283, filed on 22 Jun 2001, GRANTED, Pat. No. US 6525049
PRAI US 2000-215986P 20000705 (60)
US 2001-277012P 20010319 (60)
DT Utility
FS APPLICATION
LREP Jonathan P. O'Brien, Pharmacia & Upjohn Company, Global Intellectual Property, 301 Henrietta Street, Kalamazoo, MI, 49001
CLMN Number of Claims: 46
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2081
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides a compound of formula I ##STR1##

which is useful as antiviral agents, in particular, as agents against viruses of the herpes family.

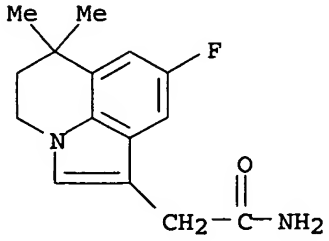
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **388122-12-1P 388122-13-2P 388122-14-3P 388122-15-4P 388122-16-5P**
(preparation of pyrroloquinolones as viral DNA polymerase inhibitors for antiviral agents)
IT **388122-12-1P**
(preparation of pyrroloquinolones as viral DNA polymerase inhibitors for antiviral agents)
RN 388122-12-1 USPATFULL
CN 6H-Pyrrolo[3,2,1-ij]quinoline-5-carboxamide, N-[(4-chlorophenyl)methyl]-1-[2-(4-morpholinyl)ethyl]-8-(4-morpholinylmethyl)-6-oxo- (9CI) (CA INDEX NAME)



L16 ANSWER 4 OF 10 USPATFULL on STN
AN 2003:134587 USPATFULL
TI Agents and method for the treatment of proliferative diseases
IN Al-Awar, Rima Salim, Raleigh, NC, UNITED STATES
Hecker, Kyle Andrew, Indianapolis, IN, UNITED STATES
Huang, Jianping, Carmel, IN, UNITED STATES
Joseph, Sajan, Indianapolis, IN, UNITED STATES
Ray, James Edward, Indianapolis, IN, UNITED STATES
Waid, Philip Parker, Indianapolis, IN, UNITED STATES
PI US 2003092676 A1 20030515
US 6743785 B2 20040601
AI US 2002-130801 A1 20020521 (10)
WO 2000-US33274 20001218
DT Utility
FS APPLICATION
LREP ELI LILLY AND COMPANY, PATENT DIVISION, P.O. BOX 6288, INDIANAPOLIS, IN, 46206-6288
CLMN Number of Claims: 10
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2812
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB ##STR1##

The present invention provides selective kinase inhibitors of formula (I).

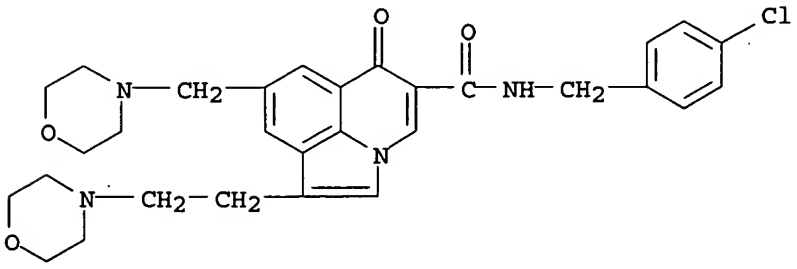
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **345264-47-3P**
(preparation of maleimide and carbazole derivs. for the treatment of proliferative diseases)
IT **345264-47-3P**
(preparation of maleimide and carbazole derivs. for the treatment of proliferative diseases)
RN 345264-47-3 USPATFULL
CN 4H-Pyrrolo[3,2,1-ij]quinoline-1-acetamide, 8-fluoro-5,6-dihydro-6,6-dimethyl- (9CI) (CA INDEX NAME)



L16 ANSWER 5 OF 10 USPATFULL on STN
AN 2002:106425 USPATFULL
TI Pyrroloquinolones as antiviral agents
IN Vaillancourt, Valerie A., Kalamazoo, MI, UNITED STATES
Staley, Sandra, Kalamazoo, MI, UNITED STATES
Huang, Audris, Irvine, CA, UNITED STATES
Nugent, Richard Allen, Galesburg, MI, UNITED STATES
Chen, Ke, Kalamazoo, MI, UNITED STATES
Nair, Sajiv K., Portage, MI, UNITED STATES
Nieman, James A., Galesburg, MI, UNITED STATES
Strohbach, Joseph W., Mendon, MI, UNITED STATES
PI US 2002055636 A1 20020509
US 6525049 B2 20030225
AI US 2001-888283 A1 20010622 (9)
PRAI US 2000-215986P 20000705 (60)
US 2001-277012P 20010319 (60)
DT Utility
FS APPLICATION
LREP Lucy X. Yang, Pharmacia & Upjohn Company, Global Intellectual Property, 301 Henrietta Street, Kalamazoo, MI, 49001
CLMN Number of Claims: 46
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2077
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides a compound of formula I ##STR1##

which is useful as antiviral agents, in particular, as agents against viruses of the herpes family.

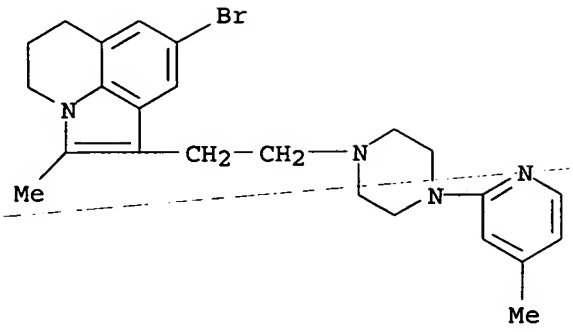
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **388122-12-1P 388122-13-2P 388122-14-3P 388122-15-4P 388122-16-5P**
(preparation of pyrroloquinolones as viral DNA polymerase inhibitors for antiviral agents)
IT **388122-12-1P**
(preparation of pyrroloquinolones as viral DNA polymerase inhibitors for antiviral agents)
RN 388122-12-1 USPATFULL
CN 6H-Pyrrolo[3,2,1-ij]quinoline-5-carboxamide, N-[(4-chlorophenyl)methyl]-1-[2-(4-morpholinyl)ethyl]-8-(4-morpholinylmethyl)-6-oxo- (9CI) (CA INDEX NAME)



L16 ANSWER 6 OF 10 USPATFULL on STN
AN 94:55544 USPATFULL
TI 7-fused 2-(piperazinoalkyl) indole derivatives, intermediates and compositions thereof
IN Jasserand, Daniel, Lyons, France
Paris, Dominique, Amberieux en Dombes, France

Demonchaux, Patrice, Chatillon sur Chalaronne, France
Cottin, Michel, Chatillon sur Chalaronne, France
Floc'H, Francois, Limonest, France
Dupassieux, Pierre, Chatillon sur Chalaronne, France
White, Richard, Bourg en Bresse, France
PA Kali-Chemie Pharma GmbH, Hanover, Germany, Federal Republic of (non-U.S. corporation)
PI US 5324725 19940628
AI US 1992-933476 19920821 (7)
PRAI DE 1991-4128015 19910823
DT Utility
FS Granted
EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Datlow, Philip I.
LREP Evenson, McKeown, Edwards & Lenahan
CLMN Number of Claims: 10
ECL Exemplary Claim: 1,9
DRWN No Drawings
LN.CNT 3140
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Pharmacologically active compounds having anti-allergic properties corresponding to the formula I ##STR1## which can be mono- or disubstituted in the phenyl ring and their acid addition salts and/or S-mono- or dioxides of sulfur-containing compounds of the formula I are described, together with processes and intermediates for their preparation.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **148468-56-8P 148468-63-7P 148468-64-8P 148468-65-9P 148468-67-1P 148468-68-2P 148468-69-3P 148468-76-2P 148489-96-7P 148489-97-8P 148490-00-0P 148490-10-2P 148490-12-4P 148490-13-5P 148490-17-9P 148490-22-6P 149542-51-8P**
(preparation of, as allergy inhibitor and antiinflammatory)
IT **148490-16-8P**
(preparation of, as intermediate for piperazinylalkylpyrroloquinoline allergy inhibitor and antiinflammatory)
IT **148490-14-6P**
(preparation of, as intermediate for piperazinylalkylpyrroloquinoline allery inhibitor and antiinflammatory)
IT **148468-56-8P**
(preparation of, as allergy inhibitor and antiinflammatory)
RN 148468-56-8 USPATFULL
CN 4H-Pyrrolo[3,2,1-ij]quinoline, 8-bromo-5,6-dihydro-2-methyl-1-[2-[4-(4-methyl-2-pyridinyl)-1-piperazinyl]ethyl]-, trihydrochloride (9CI) (CA INDEX NAME)

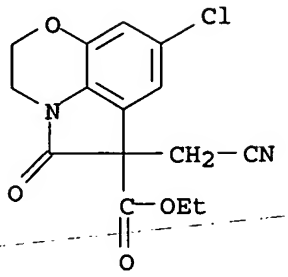


●3 HCl

L16 ANSWER 7 OF 10 USPATFULL on STN
AN 88:36125 USPATFULL
TI Process for the manufacture of spiro-linked pyrrolidine 2,5-diones
IN Masuzawa, Kuniyoshi, Koga, Japan
Okamura, Kyuya, Ohmiya, Japan
Fujimori, Shizuyoshi, Tochigi, Japan
Kinoshita, Susumu, Okaya, Japan
Matsukubo, Hiroshi, Okaya, Japan
PA Kyorin Pharmaceutical Co., Ltd., Tokyo, Japan (non-U.S. corporation)
PI US 4749789 19880607
AI US 1987-72004 19870710 (7)
PRAI JP 1986-161789 19860711
DT Utility
FS Granted
EXNAM Primary Examiner: Schwartz, Richard A.; Assistant Examiner: Richter, J.
LREP Oblon, Fisher, Spivak, McClelland & Maier
CLMN Number of Claims: 3
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 393
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention relates to novel processes for the manufacture of spiro-linked pyrrolidine-2,5-diones of the formula; ##STR1## which have a potent inhibitory activity on aldose reductase and are useful for reduction and prevention of chronic diabetic complications.

The invented processes are useful as improved and convenient method for a large scale manufacture.

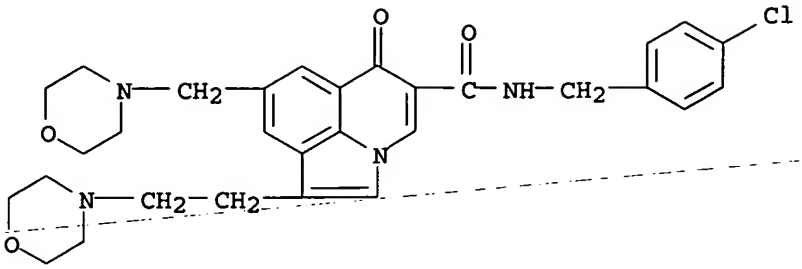
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **113770-19-7P 113770-20-0P**
(preparation of, as aldose reductase inhibitor intermediate)
IT **113770-19-7P**
(preparation of, as aldose reductase inhibitor intermediate)
RN 113770-19-7 USPATFULL
CN Pyrrolo[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid, 8-chloro-6-(cyanomethyl)-2,3,5,6-tetrahydro-5-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L16 ANSWER 8 OF 10 USPAT2 on STN
AN 2003:220270 USPAT2
TI Pyrroloquinolones as antiviral agents
IN Vaillancourt, Valerie A., Kalamazoo, MI, United States
Staley, Sandra, Kalamazoo, MI, United States
Huang, Audris, Irvine, CA, United States
Nugent, Richard Allen, Galesburg, MI, United States
Chen, Ke, Kalamazoo, MI, United States
Nair, Sajiv K., Portage, MI, United States
Nieman, James A., Galesburg, MI, United States
Strohbach, Joseph Walter, Mendon, MI, United States
PA Pharmacia and Upjohn Comapny, Kalamazoo, MI, United States (U.S. corporation)
PI US 6683181 B2 20040127
AI US 2002-288117 20021105 (10)
RLI Division of Ser. No. US 2001-888283, filed on 22 Jun 2001, now patented, Pat. No. US 6525049
PRAI US 2000-215986P 20000705 (60)
US 2001-277012P 20010319 (60)
DT Utility
FS GRANTED
EXNAM Primary Examiner: Huang, Evelyn Mei
LREP Yang, Lucy X., O'Brien, Jonthan P.
CLMN Number of Claims: 7
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 1711
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides a compound of formula I ##STR1##

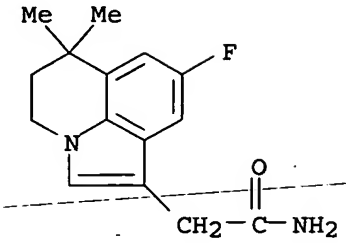
which is useful as antiviral agents, in particular, as agents against viruses of the herpes family.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **388122-12-1P 388122-13-2P 388122-14-3P**
388122-15-4P 388122-16-5P
(preparation of pyrroloquinolones as viral DNA polymerase inhibitors for antiviral agents)
IT **388122-12-1P**
(preparation of pyrroloquinolones as viral DNA polymerase inhibitors for antiviral agents)
RN 388122-12-1 USPAT2
CN 6H-Pyrrolo[3,2,1-ij]quinoline-5-carboxamide, N-[(4-chlorophenyl)methyl]-1-[2-(4-morpholinyl)ethyl]-8-(4-morpholinylmethyl)-6-oxo- (9CI) (CA INDEX NAME)



L16 ANSWER 9 OF 10 USPAT2 on STN
AN 2003:134587 USPAT2
TI Agents and methods for the treatment of proliferative diseases
IN Al-Awar, Rima Salim, Raleigh, NC, United States
Hecker, Kyle Andrew, Indianapolis, IN, United States
Huang, Jianping, Carmel, IN, United States
Joseph, Sajan, Indianapolis, IN, United States
Ray, James Edward, Indianapolis, IN, United States
Waid, Philip Parker, Indianapolis, IN, United States
PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)
PI US 6743785 B2 20040601
WO 2001044235 20010621
AI US 2002-130801 20020521 (10)
WO 2000-US33274 20001218
PRAI US 1999-171219P 19991216 (60)
US 1999-171269P 19991216 (60)
DT Utility
FS GRANTED
EXNAM Primary Examiner: Raymond, Richard L.; Assistant Examiner: Liu, Hong
LREP Tucker, Tina M.
CLMN Number of Claims: 10
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 2732
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides selective kinase inhibitors of formula (I). ##STR1##

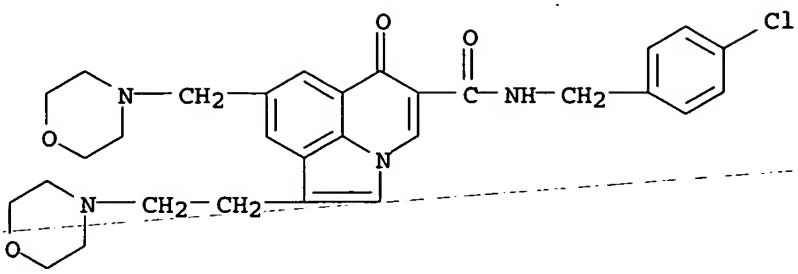
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **345264-47-3P**
(preparation of maleimide and carbazole derivs. for the treatment of proliferative diseases)
IT **345264-47-3P**
(preparation of maleimide and carbazole derivs. for the treatment of proliferative diseases)
RN 345264-47-3 USPAT2
CN 4H-Pyrrolo[3,2,1-ij]quinoline-1-acetamide, 8-fluoro-5,6-dihydro-6,6-dimethyl- (9CI) (CA INDEX NAME)



L16 ANSWER 10 OF 10 USPAT2 on STN
AN 2002:106425 USPAT2
TI Pyrroloquinolones as antiviral agents
IN Vaillancourt, Valerie A., Kalamazoo, MI, United States
Staley, Sandra, Kalamazoo, MI, United States
Huang, Audris, Irvine, CA, United States
Nugent, Richard Allen, Galesburg, MI, United States
Chen, Ke, Kalamazoo, MI, United States
Nair, Sajiv K., Portage, MI, United States
Nieman, James A., Galesburg, MI, United States
Strohbach, Joseph Walter, Mendon, MI, United States
PA Pharmacia & Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)
PI US 6525049 B2 20030225
AI US 2001-888283 20010622 (9)
PRAI US 2000-215986P 20000705 (60)
US 2001-277012P 20010319 (60)
DT Utility
FS GRANTED
EXNAM Primary Examiner: Huang, Evelyn Mei
LREP Yang, Lucy X., O'Brien, Jonathan P.
CLMN Number of Claims: 43
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 2039
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides a compound of formula I ##STR1##

which is useful as antiviral agents, in particular, as agents against viruses of the herpes family.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **388122-12-1P 388122-13-2P 388122-14-3P**
388122-15-4P 388122-16-5P
(preparation of pyrroloquinolones as viral DNA polymerase inhibitors for antiviral agents)
IT **388122-12-1P**
(preparation of pyrroloquinolones as viral DNA polymerase inhibitors for antiviral agents)
RN 388122-12-1 USPAT2
CN 6H-Pyrrolo[3,2,1-ij]quinoline-5-carboxamide, N-[(4-chlorophenyl)methyl]-1-[2-(4-morpholinyl)ethyl]-8-(4-morpholinylmethyl)-6-oxo- (9CI) (CA INDEX NAME)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